

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: C. Delacroix-M Examiner #: 71100 Date: 10-6-05  
Art Unit: 1614 Phone Number: 82-0572 Serial Number: 091700165  
Mail Box and Bldg/Room Location: 53C70 53A78 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover-sheet, pertinent claims, and abstract.

Title of invention: \_\_\_\_\_

Inventors (please provide full names): \_\_\_\_\_

*please see attached*

Earliest Priority Filing Date: \_\_\_\_\_

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

*please search the method of claim 1, specifically searching the compound of Formula(I) for use in treating pain.*

*neuropathic pain  
cancer pain  
post-operative pain  
herpetic pain*

*key substituents in Formula(I) are highlighted.*

*neuralgia pain  
analgesia, anti-nociceptive*

*Thanks  
CMM*

*compound of formula(I) is  
a Bombesin Receptor Antagonist*

STAFF USE ONLY

Searcher: Beverly e2823

Searcher Phone # \_\_\_\_\_

Searcher Location: \_\_\_\_\_

Date Searched: \_\_\_\_\_

Date Completed: \_\_\_\_\_

Searcher Pre-Review Time: \_\_\_\_\_

Clinical Pre-Review Time: \_\_\_\_\_

Online Time: \_\_\_\_\_

Type of Search

NA Sequence (#) \_\_\_\_\_

AA Sequence (#) \_\_\_\_\_

Structure (#) \_\_\_\_\_

Bibliographic \_\_\_\_\_

Litigation \_\_\_\_\_

Fulltext \_\_\_\_\_

Patent Family \_\_\_\_\_

Other \_\_\_\_\_

Vendor's and cost where applicable

STN ☒

Dialog \_\_\_\_\_

Questel/Orbit \_\_\_\_\_

Dr.Link \_\_\_\_\_

Lexis/Nexis \_\_\_\_\_

Sequence Systems \_\_\_\_\_

WWW/Internet \_\_\_\_\_

Other (specify) \_\_\_\_\_

09/700165

FILE 'REGISTRY' ENTERED AT 15:27:04 ON 11 OCT 2005  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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Property values tagged with IC are from the ZIC/VINITI data file  
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STRUCTURE FILE UPDATES: 10 OCT 2005 HIGHEST RN 864908-12-3  
DICTIONARY FILE UPDATES: 10 OCT 2005 HIGHEST RN 864908-12-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

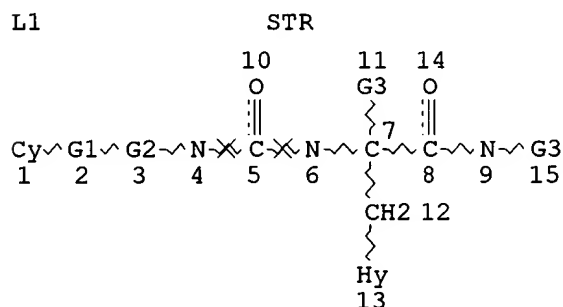
Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS  
for details.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>



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REP G2=(0-1) CH2  
VAR G3=H/AK  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

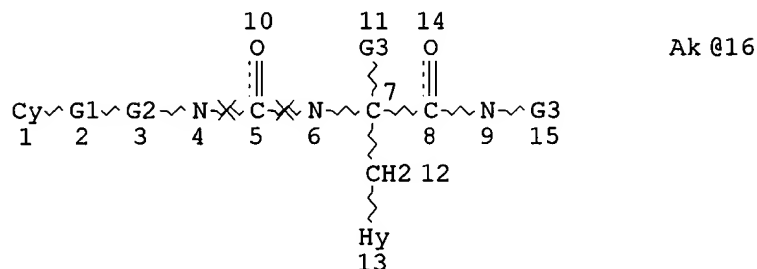
GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 15

09/700165

STEREO ATTRIBUTES: NONE

L2 ( 468) SEA FILE=REGISTRY SSS FUL L1

L3 STR



REP G1=(0-1) C

REP G2=(0-1) CH2

VAR G3=H/16

NODE ATTRIBUTES:

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GGCAT IS LOC AT 16

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS M1 N AT 13

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

L4 414 SEA FILE=REGISTRY SUB=L2 SSS FUL L3

100.0% PROCESSED 468 ITERATIONS

414 ANSWERS

SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 15:27:04 ON 11 OCT 2005

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 11 Oct 2005 VOL 143 ISS 16

FILE LAST UPDATED: 10 Oct 2005 (20051010/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

L5 77 SEA ABB=ON PLU=ON L4

Searcher : Shears 571-272-2528

09/700165

L6 9 SEA ABB=ON PLU=ON L5 AND (PAIN OR PHYSICAL? (3A) SUFFER?  
OR ANALGESI# OR ANTINOCICEPT? OR ANTI NOCICEPT? OR ACHE#  
OR ACHING)

E1 THROUGH E51 ASSIGNED

L6 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:429408 CAPLUS

DOCUMENT NUMBER: 142:482316

TITLE: Preparation of amino acids derivatives as Glyt2  
modulators, especially antagonists, for treating  
central nervous system conditions

INVENTOR(S): Barclay, Tristin K.; Santillan, Alejandro, Jr.;  
Tang, Liu Y.; Venkatesan, Hariharan; Wolin, Ronald  
L.

PATENT ASSIGNEE(S): Janssen Pharmaceutica, N. V., Belg.

SOURCE: PCT Int. Appl., 178 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

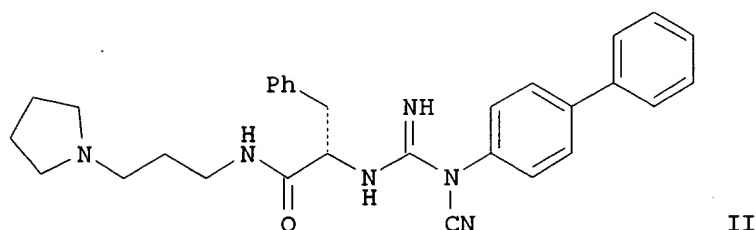
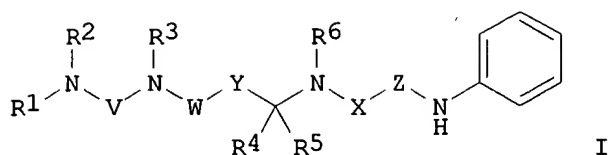
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005044810	A1	20050519	WO 2004-US36009	20041028
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2005119245	A1	20050602	US 2004-976067	20041028
PRIORITY APPLN. INFO.:			US 2003-515949P	P 20031030

OTHER SOURCE(S): MARPAT 142:482316

GI



AB  $\alpha$ -,  $\beta$ -, And  $\gamma$ -amino acid derivs. of formula I  
[wherein R1, R2 = independently H, alk(en)yl, cycloalkyl, benzyl;  
R1NR2 = (un)substituted saturated or partially unsatd. 4-7-membered  
heterocyclyl; R3 = H, alkyl optionally substituted with NH2; V =  
(CH2)n; n = 2-5; W = (CO)m; m = 0-1; Y = covalent bond, alkane-diyl,  
or cis or trans alkene-diyl, optionally substituted with 1 or 2  
independently selected alkyl substituents; R4 = H, alkyl, Ph; R5 =  
alk(en)yl, Ph, thienyl, etc.; or R4CR5 = saturated or partially unsatd.  
3-7-membered monocyclic carbocyclyl, optionally benzofused; R6 = H,  
alkyl; X = C:O, C:S, C:N-CN, C:CHNO2; Z = covalent bond, CH2; R7 = H,  
halo, alkyl; R8 = H, (un)substituted Ph, OPh, O-tetrahydronaphthyl,  
SOq-Ph, thienyl, pyridinyl; q = 0-2; or R7 and R8 together with the Ph  
to which they are attached form (un)substituted fluorenyl or  
tetrahydronaphthyl; and their stereoisomers, solvates,  
pharmaceutically acceptable salts and polymorphs] are disclosed as  
selective glycine transporter-2 (Glyt2) inhibitors, in particular  
antagonists, for the treatment of central nervous system (CNS)  
conditions such as muscle spasticity, tinnitus, epilepsy and  
neuropathic pain. A 4-step synthesis is given for title  
compound II. II inhibited the uptake of [14C]-glycine in COS-7 cells  
transfected with human-Glyt2 with an IC50 = 11 nM.

IT **758698-57-6P**, (S)-2-[3-(Biphenyl-4-yl)ureido]-3-(pyridin-3-yl)-  
N-[3-(pyrrolidin-1-yl)propyl]propionamide **758698-59-8P**,  
(S)-2-[3-(Biphenyl-4-yl)ureido]-3-(pyridin-4-yl)-N-[3-(pyrrolidin-1-  
yl)propyl]propionamide **851968-37-1P**, (S)-2-[3-(4-  
Phenoxyphenyl)ureido]-N-[2-(pyrrolidin-1-yl)ethyl]-3-(thiazol-4-  
yl)propionamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

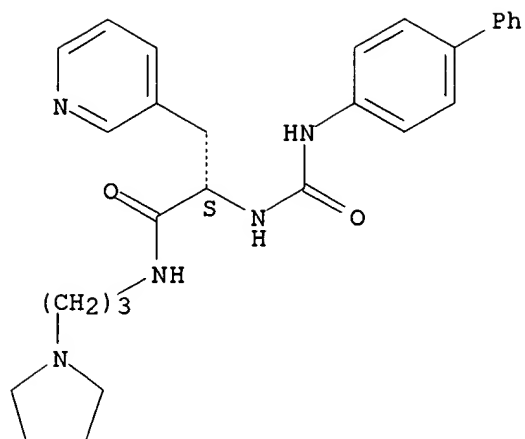
(drug candidate; preparation of amino acids derivs. as Glyt2 modulators,  
especially antagonists, for treating central nervous system conditions)

RN 758698-57-6 CAPLUS

CN 3-Pyridinepropanamide,  $\alpha$ -[[[1,1'-biphenyl]-4-  
ylamino)carbonyl]amino]-N-[3-(1-pyrrolidinyl)propyl]-, ( $\alpha$ S)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

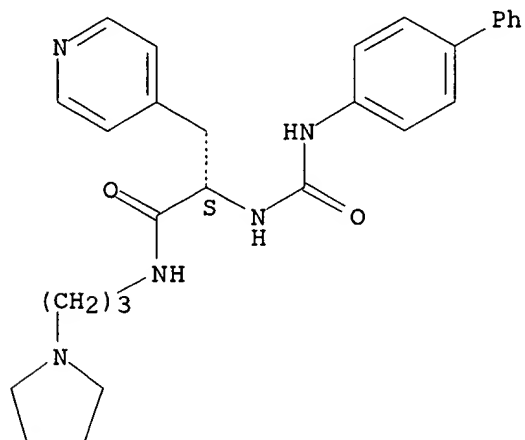
09/700165



RN 758698-59-8 CAPLUS

CN 4-Pyridinepropanamide,  $\alpha$ -[[[1,1'-biphenyl]-4-ylamino]carbonyl]amino-N-[3-(1-pyrrolidinyl)propyl]-, ( $\alpha S$ )- (9CI) (CA INDEX NAME)

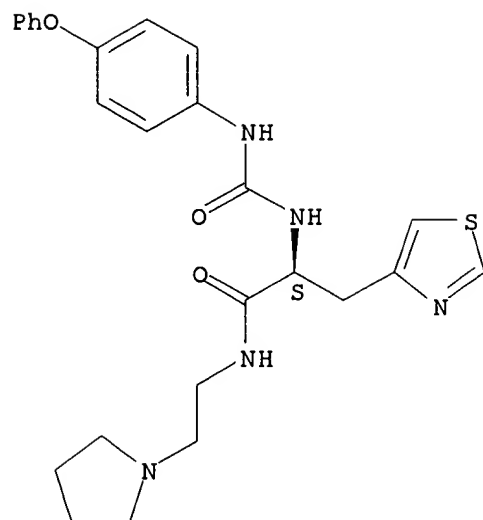
Absolute stereochemistry.



RN 851968-37-1 CAPLUS

CN 4-Thiazolepropanamide,  $\alpha$ -[[[4-phenoxyphenyl]amino]carbonyl]amino-N-[2-(1-pyrrolidinyl)ethyl]-, ( $\alpha S$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:487398 CAPLUS

DOCUMENT NUMBER: 137:41784

TITLE: Nonpeptide bombesin receptor antagonists for treatment and diagnosis of anxiety, panic disorders, cancers, ulcers, and other conditions  
 INVENTOR(S): Pinnock, Robert Denham; Pritchard, Martyn Clive  
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Lucas, Brian Ronald  
 SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002049644	A1	20020627	WO 2000-GB4915	20001220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2432066	AA	20020627	CA 2000-2432066	20001220
AU 2001023816	A5	20020701	AU 2001-23816	20001220
EP 1343498	A1	20030917	EP 2000-987567	20001220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2000017393	A	20040203	BR 2000-17393	20001220
ZA 2003003723	A	20040514	ZA 2003-3723	20030514

PRIORITY APPLN. INFO.:

WO 2000-GB4915

W 20001220

OTHER SOURCE(S): MARPAT 137:41784

AB New uses are disclosed for non-peptide bombesin receptor antagonists  $\text{Ar}[\text{C}(\text{R1})(\text{R8})]\text{j}(\text{CH2})\text{kN}(\text{R4})\text{C}(:\text{O})\text{N}(\text{R5})\text{C}(\text{R7})(\text{Ar1})\text{C}(:\text{O})\text{N}(\text{R6})(\text{CH2})\text{l}[\text{C}(\text{R2})(\text{R9})]\text{m}(\text{CH2})\text{nR3}$  [j, k, m = 0, 1; l = 0-3; n = 0-2; Ar = (un)substituted Ph, (un)substituted pyridyl, (un)substituted pyrimidyl; R1 = H, C1-7 (un)branched (non)cyclic alkyl; R8 = H or forms C3-7 ring with R1; R2 = H, C1-8 (un)branched (non)cyclic alkyl which can also contain 1-2 O or N; R9 = H or forms ring with R2 or R2 and R9 together are carbonyl; Ar1 = Ar, indolyl, etc.; R4-R7 = H, lower alkyl, etc.; R3 = Ar, H, OH, NMe2, etc.] (I). Uses include the diagnosis, prevention, or treatment of anxiety, social phobia and panic disorders, pulmonary hypertension, lung repair and lung development disorders, prostate cancer, pancreatic cancer, hepatic porphyria, visceral **pain**, gastrointestinal secretory disturbances including duodenal ulcer and Helicobacter pylori infection and neuropathic **pain**. Also disclosed is a method for diagnosing or treating cancers using a radiolabeled I, as is a method for treating cancers using a conjugate of I with a cytotoxic agent.

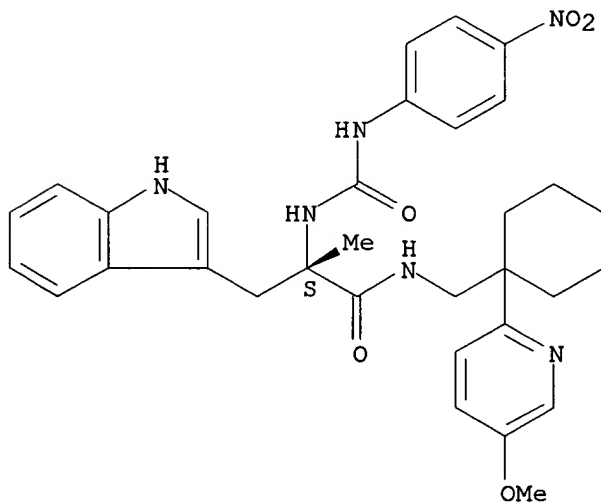
IT 204067-01-6

RL: DGN (Diagnostic use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(nonpeptide bombesin receptor antagonists for treatment and diagnosis of anxiety, panic disorders, cancers, ulcers, and other conditions)

RN 204067-01-6 CAPLUS

CN 1H-Indole-3-propanamide, N-[[[1-(5-methoxy-2-pyridinyl)cyclohexyl)methyl]- $\alpha$ -methyl- $\alpha$ -[[[4-nitrophenyl)amino]carbonyl]amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2002:391535 CAPLUS

Searcher : Shears 571-272-2528



DOCUMENT NUMBER: 136:380143  
 TITLE: Treatment of sexual dysfunction using bombesin antagonist  
 INVENTOR(S): Gonzalez, Maria Isabel; Higginbottom, Michael; Pinnock, Robert Denham; Pritchard, Martyn Clive; Stock, Herman Thijs  
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA  
 SOURCE: PCT Int. Appl., 151 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 10  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040022	A1	20020523	WO 2000-GB4380	20001117
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
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CA 2426521	AA	20020523	CA 2000-2426521	20001117
AU 2001014046	A5	20020527	AU 2001-14046	20001117
EP 1333829	A1	20030813	EP 2000-976165	20001117
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2000017374	A	20030930	BR 2000-17374	20001117
JP 2004525864	T2	20040826	JP 2002-542395	20001117
CA 2429106	AA	20020523	CA 2001-2429106	20011114
WO 2002040008	A2	20020523	WO 2001-GB5018	20011114
WO 2002040008	A3	20020822		
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AU 2002023802	A5	20020527	AU 2002-23802	20011114
EP 1333824	A2	20030813	EP 2001-994552	20011114
EP 1333824	B1	20050907		
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BR 2001015364	A	20030923	BR 2001-15364	20011114
JP 2004522710	T2	20040729	JP 2002-542382	20011114
CN 1518445	A	20040804	CN 2001-821951	20011114
(NZ 525415	A	20041126	NZ 2001-525415	20011114
AT 303804	E	20050915	AT 2001-994552	20011114
TW 220650	B1	20040901	TW 2001-90128451	20011116

09/700165

ZA 2003003250	A	20040426	ZA 2003-3250	20030425
US 2004087561	A1	20040506	US 2003-416934	20031204
PRIORITY APPLN. INFO.:			WO 2000-GB4380	W 20001117

GB 2001-9910	A	20010423
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GB 2001-11037	A	20010504
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WO 2001-GB5018	W	20011114
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AB Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females. Preparation of compds. of the invention is included.

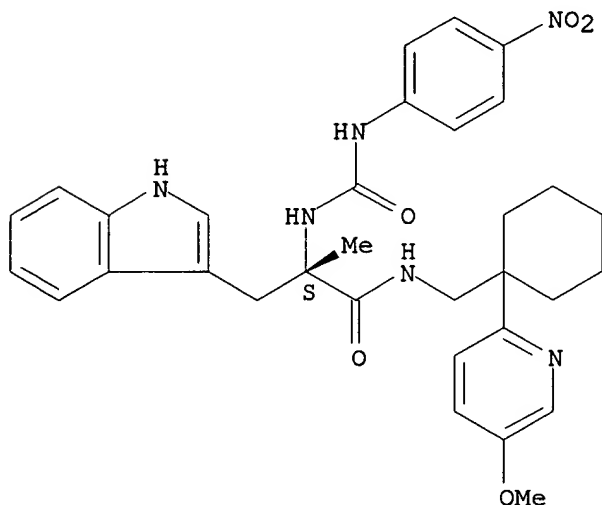
IT 204067-01-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(bombesin antagonists for treatment of sexual dysfunction)

RN 204067-01-6 CAPLUS

CN 1H-Indole-3-propanamide, N-[[1-(5-methoxy-2-pyridinyl)cyclohexylmethyl]- $\alpha$ -methyl- $\alpha$ -[[[4-nitrophenyl)amino]carbonyl]amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:391522 CAPLUS

DOCUMENT NUMBER: 136:395983

TITLE: Bombesin receptor antagonists, and combinations with other agents, for the treatment of sexual dysfunction

INVENTOR(S): Gonzalez, Maria Isabel; Stock, Herman Thijs; Pinnock, Robert Denham; Pritchard, Martyn Clive; Wayman, Christopher Peter; Van der Graaf, Pieter Hadewijn; Naylor, Alisdair Mark; Higginbottom, Michael

Searcher : Shears 571-272-2528

09/700165

PATENT ASSIGNEE(S): Warner-Lambert Company, USA  
 SOURCE: PCT Int. Appl., 225 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 10  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040008	A2	20020523	WO 2001-GB5018	20011114
WO 2002040008	A3	20020822		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2002040022	A1	20020523	WO 2000-GB4380	20001117
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2429106	AA	20020523	CA 2001-2429106	20011114
AU 2002023802	A5	20020527	AU 2002-23802	20011114
EP 1333824	A2	20030813	EP 2001-994552	20011114
EP 1333824	B1	20050907		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2001015364	A	20030923	BR 2001-15364	20011114
JP 2004522710	T2	20040729	JP 2002-542382	20011114
NZ 525415	A	20041126	NZ 2001-525415	20011114
AT 303804	E	20050915	AT 2001-994552	20011114
US 2004087561	A1	20040506	US 2003-416934	20031204
PRIORITY APPLN. INFO.:			WO 2000-GB4380	W 20001117
			GB 2001-9910	A 20010423
			GB 2001-11037	A 20010504
			WO 2001-GB5018	W 20011114

OTHER SOURCE(S): MARPAT 136:395983

AB Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females. They may be selective BB1 antagonists or mixed BB1/BB2 antagonists. Combinations are disclosed of bombesin receptor antagonists with a range of other active compds., for example phosphodiesterase V

Searcher : Shears 571-272-2528

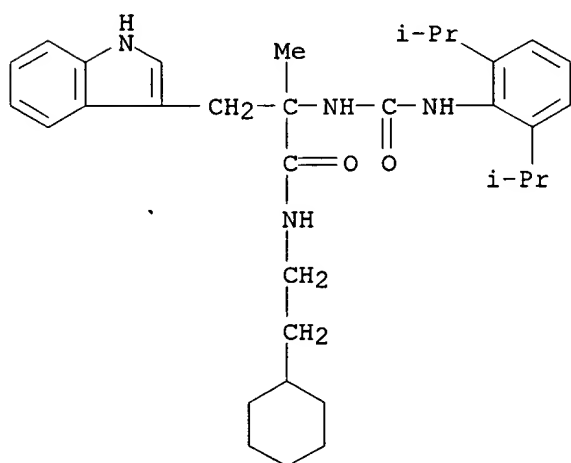
inhibitors, neutral endopeptidase inhibitors, and lasofoxifene.  
Preparation of compds. of the invention is described.

IT 204066-72-8 204066-73-9 204066-75-1  
204066-76-2 204066-78-4 204066-79-5  
204066-80-8 204066-82-0 204066-83-1  
204066-84-2 204066-86-4 204066-87-5  
204066-93-3 204066-95-5 204067-01-6  
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428864-52-2 428864-53-3 428864-54-4  
428864-55-5 428864-56-6 428864-57-7  
428864-59-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(bombesin receptor antagonists, and combinations with other agents,  
for treatment of sexual dysfunction)

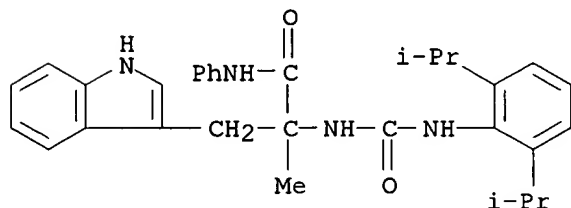
RN 204066-72-8 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]-N-(2-cyclohexylethyl)- $\alpha$ -methyl- (9CI) (CA INDEX NAME)



RN 204066-73-9 CAPLUS

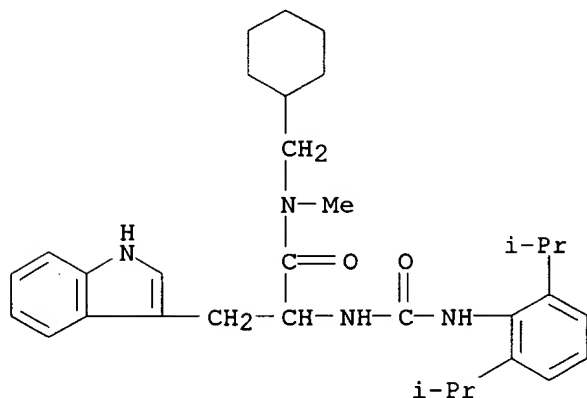
CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]- $\alpha$ -methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 204066-75-1 CAPLUS

09/700165

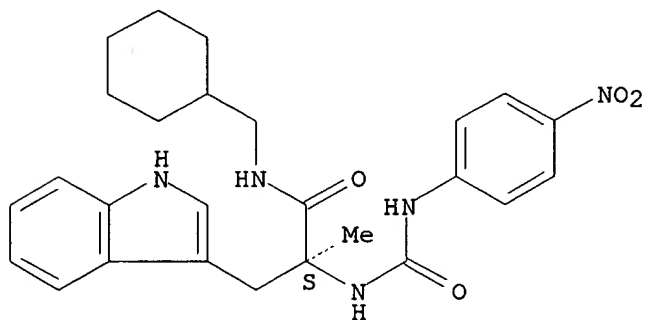
CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]-N-(cyclohexylmethyl)-N-methyl-  
(9CI) (CA INDEX NAME)



RN 204066-76-2 CAPLUS

CN 1H-Indole-3-propanamide, N-(cyclohexylmethyl)- $\alpha$ -methyl- $\alpha$ -  
[[[(4-nitrophenyl)amino]carbonyl]amino]-, ( $\alpha$ S)- (9CI) (CA INDEX  
NAME)

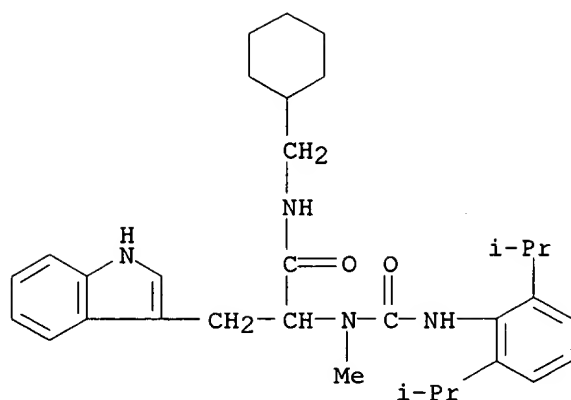
Absolute stereochemistry.



RN 204066-78-4 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]methylanino]-N-(cyclohexylmethyl)-  
(9CI) (CA INDEX NAME)

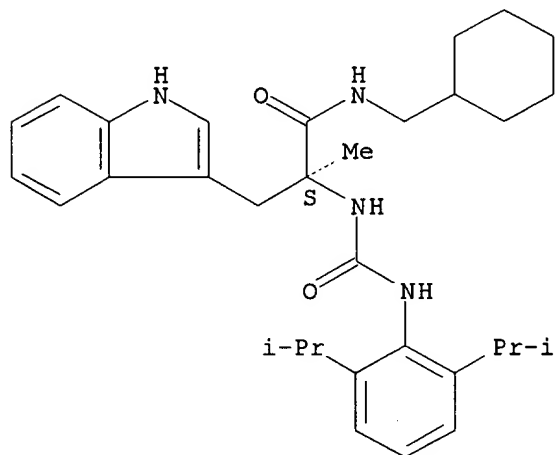
09/700165



RN 204066-79-5 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]-N-(cyclohexylmethyl)- $\alpha$ -methyl-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

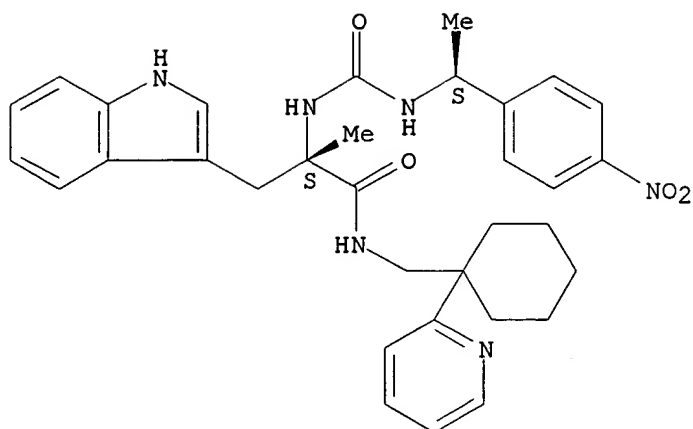


RN 204066-80-8 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -methyl- $\alpha$ -[[[[(1S)-1-(4-nitrophenyl)ethyl]amino]carbonyl]amino]-N-[[1-(2-pyridinyl)cyclohexyl]methyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

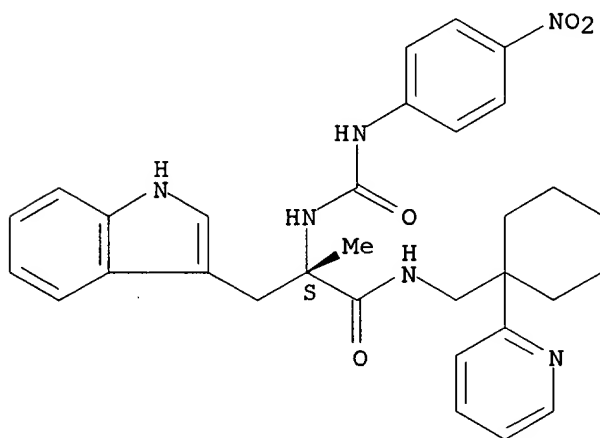
09/700165



RN 204066-82-0 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -methyl- $\alpha$ -[[[(4-nitrophenyl)amino]carbonyl]amino]-N-[[1-(2-pyridinyl)cyclohexyl]methyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

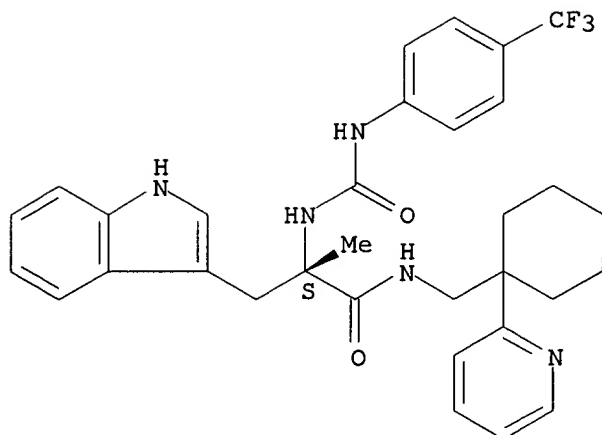


RN 204066-83-1 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -methyl-N-[[1-(2-pyridinyl)cyclohexyl]methyl]- $\alpha$ -[[[(4-(trifluoromethyl)phenyl)amino]carbonyl]amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

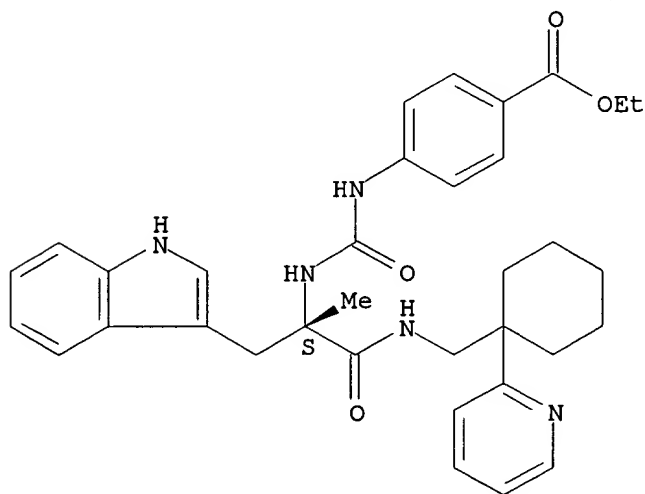
09/700165



RN 204066-84-2 CAPLUS

CN Benzoic acid, 4-[[[[(1S)-1-(1H-indol-3-ylmethyl)-1-methyl-2-oxo-2-[[[1-(2-pyridinyl)cyclohexyl]methyl]amino]ethyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



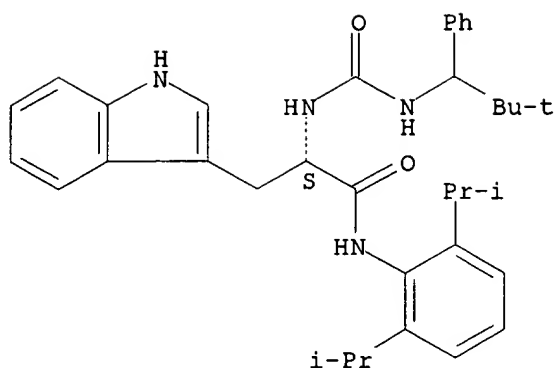
RN 204066-86-4 CAPLUS

CN 1H-Indole-3-propanamide, N-[2,6-bis(1-methylethyl)phenyl]-α-[[[(2,2-dimethyl-1-phenylpropyl)amino]carbonyl]amino]-, (αS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

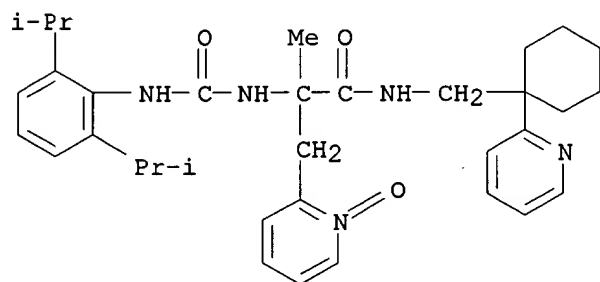


09/700165



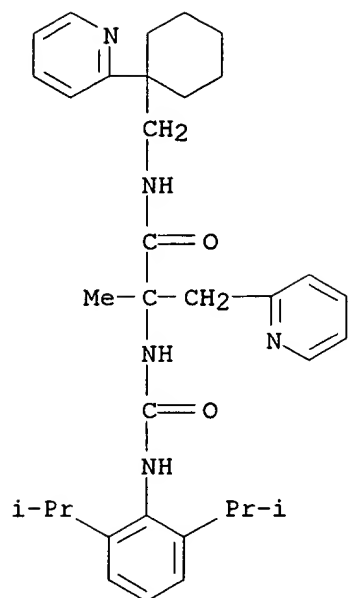
RN 204066-87-5 CAPLUS

CN 2-Pyridinepropanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]- $\alpha$ -methyl-N-[[1-(2-pyridinyl)cyclohexyl]methyl]-, 1-oxide (9CI) (CA INDEX NAME)

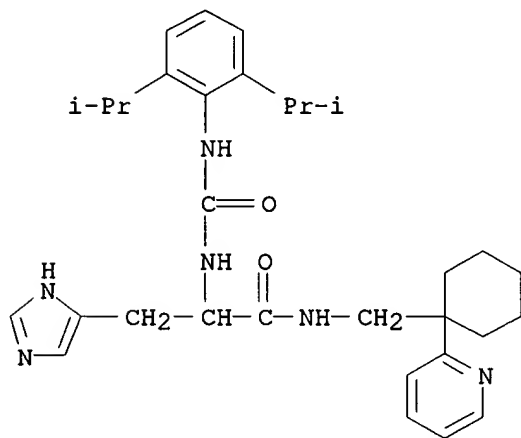


RN 204066-93-3 CAPLUS

CN 2-Pyridinepropanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]- $\alpha$ -methyl-N-[[1-(2-pyridinyl)cyclohexyl]methyl]- (9CI) (CA INDEX NAME)



RN 204066-95-5 CAPLUS  
 CN 1H-Imidazole-4-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]-N-[[1-(2-pyridinyl)cyclohexyl]methyl]- (9CI) (CA INDEX NAME)

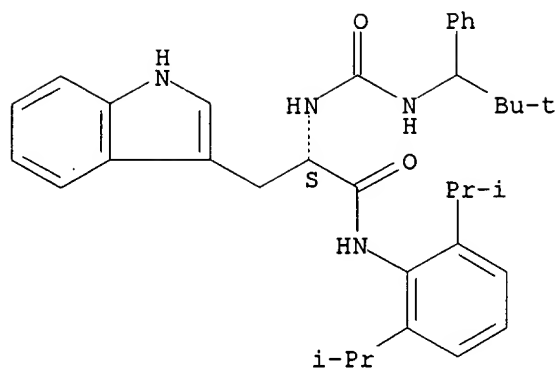


RN 204067-01-6 CAPLUS  
 CN 1H-Indole-3-propanamide, N-[[[1-(5-methoxy-2-pyridinyl)cyclohexyl]methyl]- $\alpha$ -methyl- $\alpha$ -[[[4-nitrophenyl]amino]carbonyl]amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

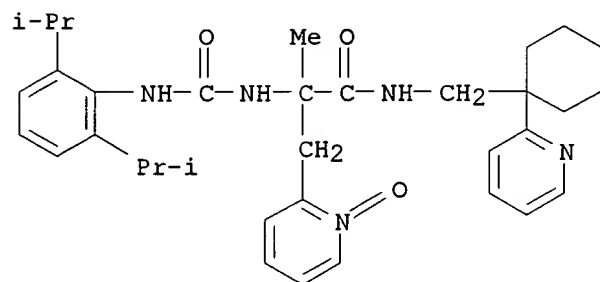
Absolute stereochemistry.

Searcher : Shears 571-272-2528

09/700165

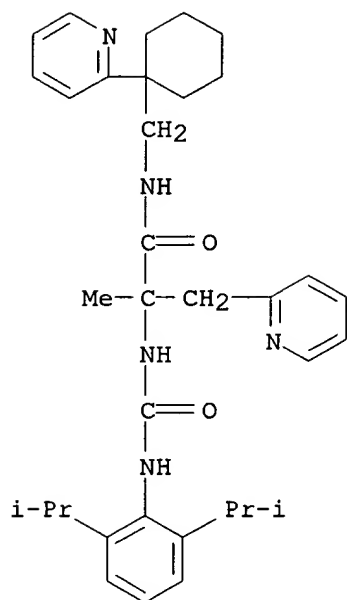


RN 204066-87-5 CAPLUS  
CN 2-Pyridinepropanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]- $\alpha$ -methyl-N-[[1-(2-pyridinyl)cyclohexyl]methyl]-, 1-oxide (9CI) (CA INDEX NAME)



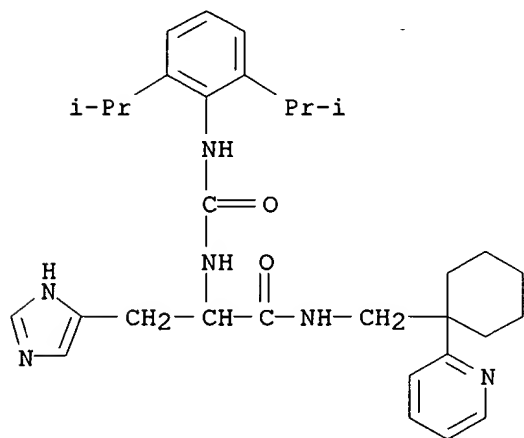
RN 204066-93-3 CAPLUS  
CN 2-Pyridinepropanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]- $\alpha$ -methyl-N-[[1-(2-pyridinyl)cyclohexyl]methyl]- (9CI) (CA INDEX NAME)

09/700165



RN 204066-95-5 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]-N-[[1-(2-pyridinyl)cyclohexyl]methyl]- (9CI) (CA INDEX NAME)



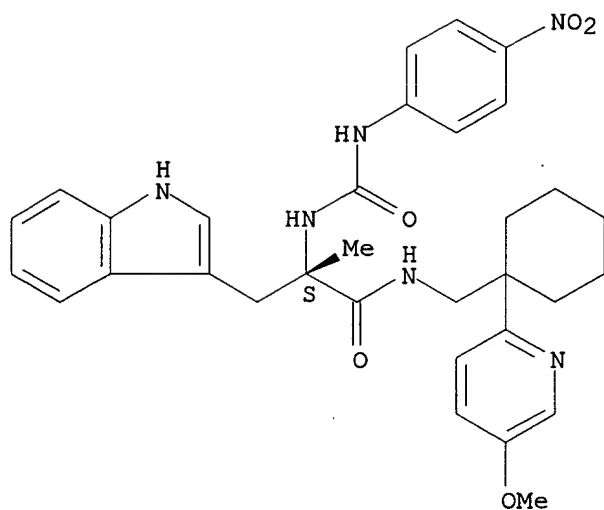
RN 204067-01-6 CAPLUS

CN 1H-Indole-3-propanamide, N-[[1-(5-methoxy-2-pyridinyl)cyclohexyl]methyl]- $\alpha$ -methyl- $\alpha$ -[[[4-nitrophenyl]amino]carbonyl]amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

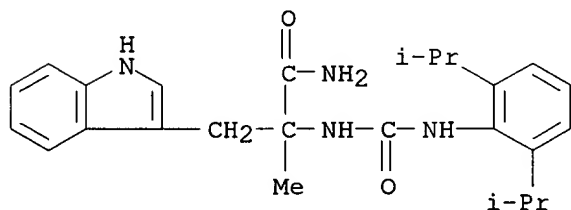
Absolute stereochemistry.

Searcher : Shears 571-272-2528

09/700165



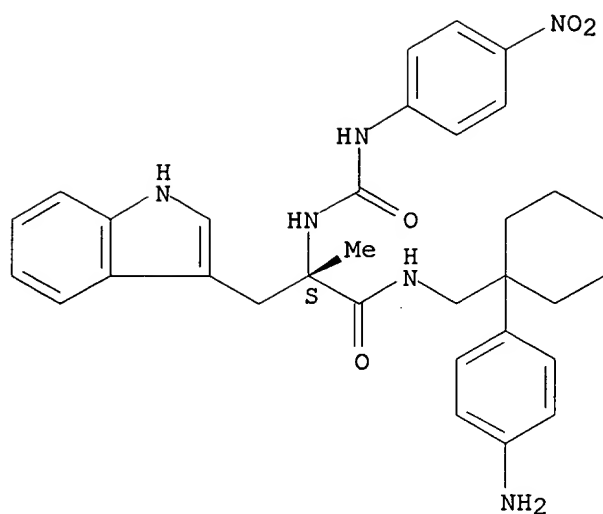
RN 204067-38-9 CAPLUS  
CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]- $\alpha$ -methyl- (9CI) (CA INDEX NAME)



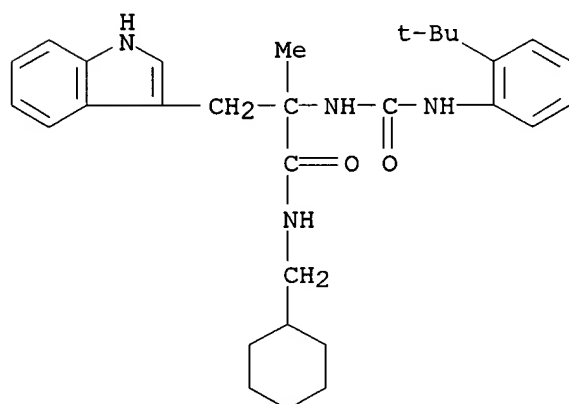
RN 428864-38-4 CAPLUS  
CN 1H-Indole-3-propanamide, N-[[1-(4-aminophenyl)cyclohexyl]methyl]- $\alpha$ -methyl- $\alpha$ -[[[4-nitrophenyl]amino]carbonyl]amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/700165

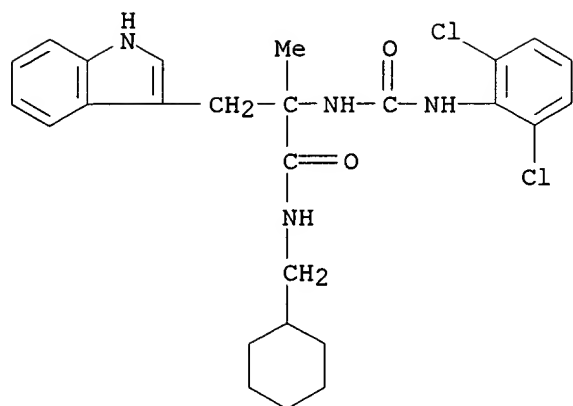


RN 428864-39-5 CAPLUS  
CN 1H-Indole-3-propanamide, N-(cyclohexylmethyl)-α-[[[2-(1,1-dimethylethyl)phenyl]amino]carbonyl]amino]-α-methyl- (9CI) (CA INDEX NAME)



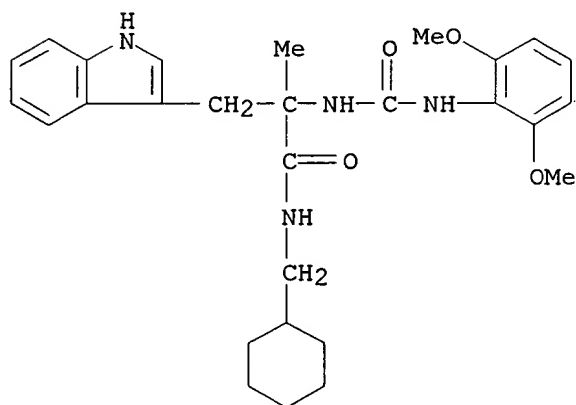
RN 428864-40-8 CAPLUS  
CN 1H-Indole-3-propanamide, N-(cyclohexylmethyl)-α-[[[2,6-dichlorophenyl]amino]carbonyl]amino]-α-methyl- (9CI) (CA INDEX NAME)

09/700165



RN 428864-41-9 CAPLUS

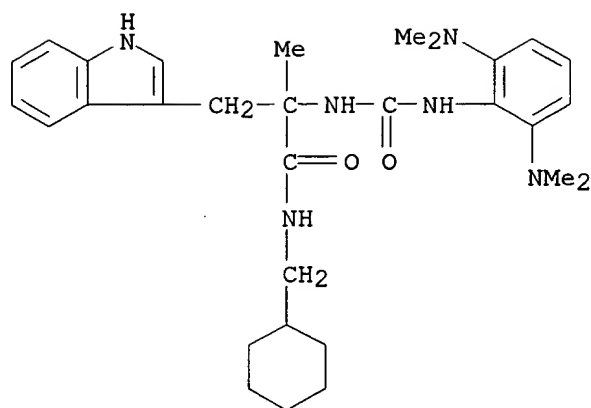
CN 1H-Indole-3-propanamide, N-(cyclohexylmethyl)-α-[[[(2,6-dimethoxyphenyl)amino]carbonyl]amino]-α-methyl- (9CI) (CA INDEX NAME)



RN 428864-42-0 CAPLUS

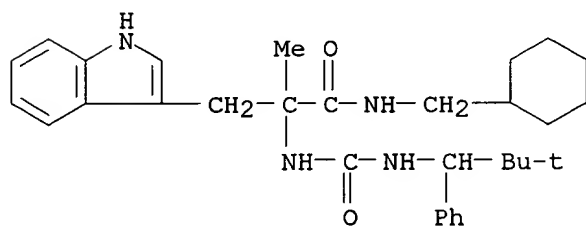
CN 1H-Indole-3-propanamide, α-[[[[2,6-bis(dimethylamino)phenyl]amino]carbonyl]amino]-N-(cyclohexylmethyl)-α-methyl- (9CI) (CA INDEX NAME)

09/700165



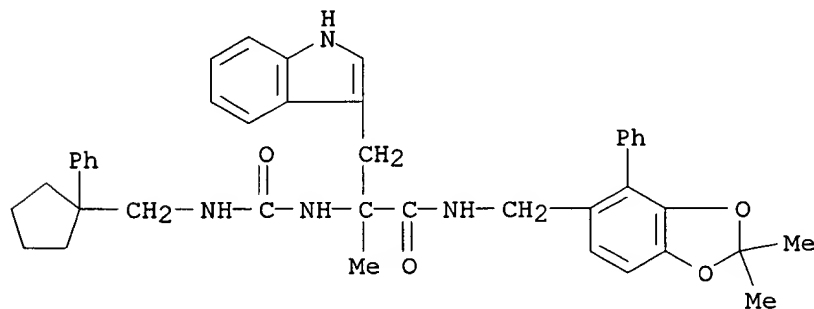
RN 428864-43-1 CAPLUS

CN 1H-Indole-3-propanamide, N-(cyclohexylmethyl)-α-[[[(2,2-dimethyl-1-phenylpropyl)amino]carbonyl]amino]-α-methyl- (9CI) (CA INDEX NAME)



RN 428864-44-2 CAPLUS

CN 1H-Indole-3-propanamide, N-[(2,2-dimethyl-4-phenyl-1,3-benzodioxol-5-yl)methyl]-α-methyl-α-[[[(1-phenylcyclopentyl)methyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

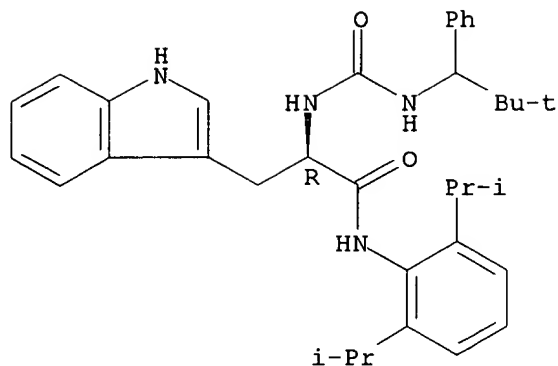


RN 428864-45-3 CAPLUS

CN 1H-Indole-3-propanamide, N-[2,6-bis(1-methylethyl)phenyl]-α-[[[(2,2-dimethyl-1-phenylpropyl)amino]carbonyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

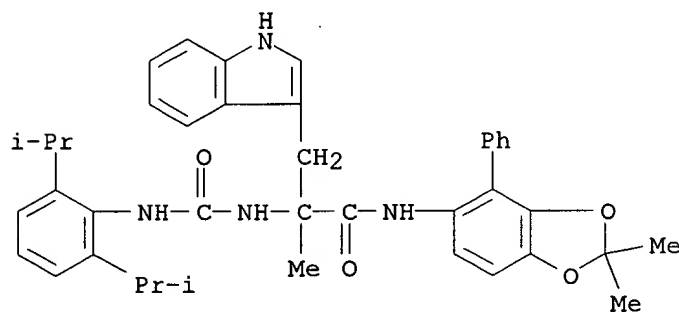
Absolute stereochemistry.





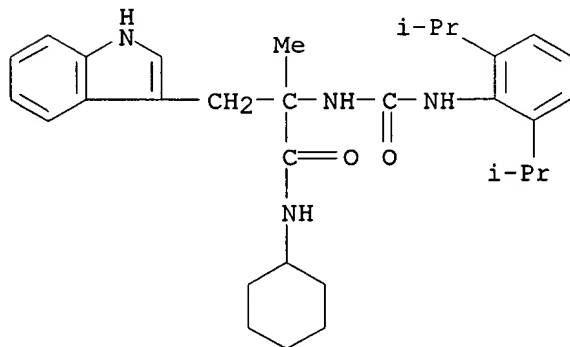
RN 428864-46-4 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]-N-(2,2-dimethyl-4-phenyl-1,3-benzodioxol-5-yl)- $\alpha$ -methyl- (9CI) (CA INDEX NAME)



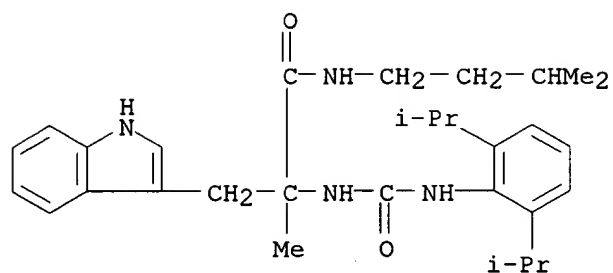
RN 428864-47-5 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]-N-cyclohexyl- $\alpha$ -methyl- (9CI) (CA INDEX NAME)



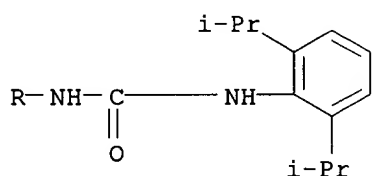
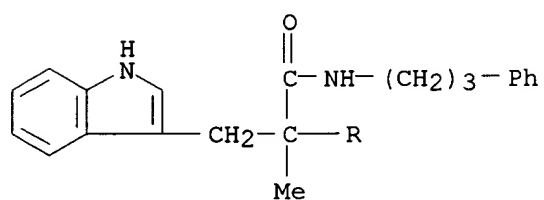
RN 428864-48-6 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]- $\alpha$ -methyl-N-(3-methylbutyl)- (9CI) (CA INDEX NAME)



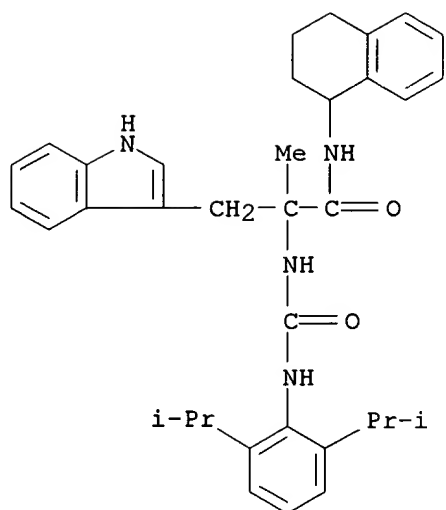
RN 428864-49-7 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]- $\alpha$ -methyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)



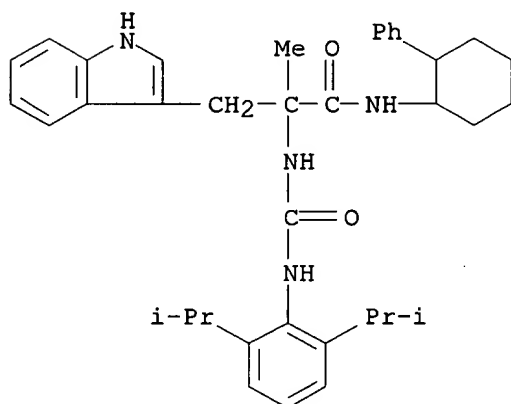
RN 428864-50-0 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]- $\alpha$ -methyl-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



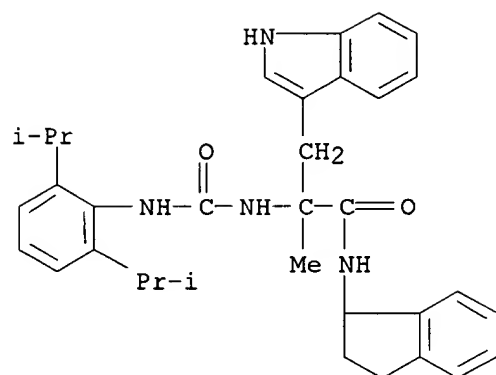
RN 428864-51-1 CAPLUS

CN 1H-Indole-3-propanamide, α-[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]-α-methyl-N-(2-phenylcyclohexyl)- (9CI) (CA INDEX NAME)

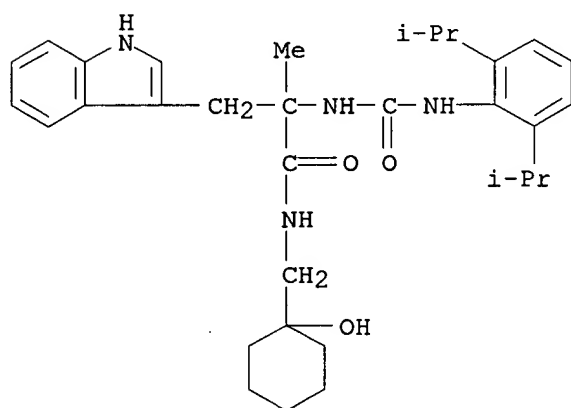


RN 428864-52-2 CAPLUS

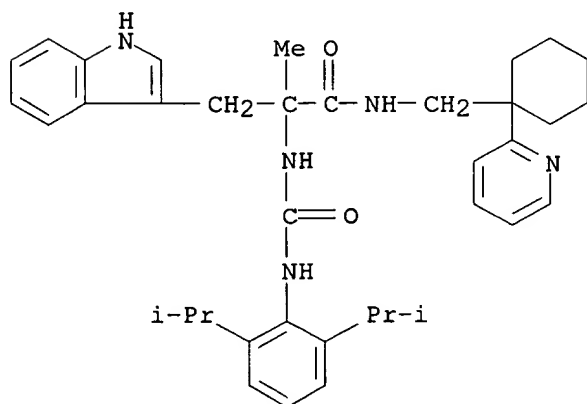
CN 1H-Indole-3-propanamide, α-[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]-N-(2,3-dihydro-1H-inden-1-yl)-α-methyl- (9CI) (CA INDEX NAME)



RN 428864-53-3 CAPLUS  
 CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]-N-[(1-hydroxycyclohexyl)methyl]- $\alpha$ -methyl- (9CI) (CA INDEX NAME)



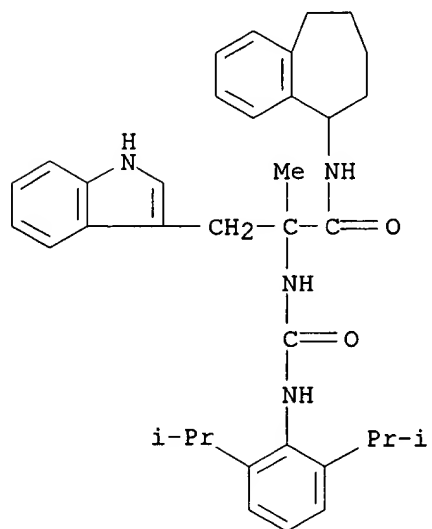
RN 428864-54-4 CAPLUS  
 CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]- $\alpha$ -methyl-N-[[1-(2-pyridinyl)cyclohexyl]methyl]- (9CI) (CA INDEX NAME)



09/700165

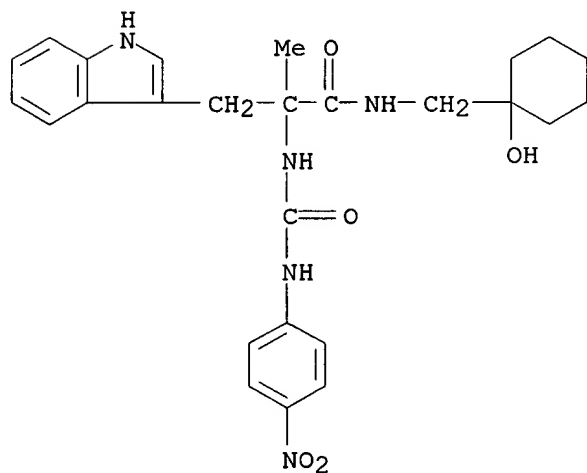
RN 428864-55-5 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]- $\alpha$ -methyl-N-(6,7,8,9-tetrahydro-5H-benzocyclohepten-5-yl)- (9CI) (CA INDEX NAME)



RN 428864-56-6 CAPLUS

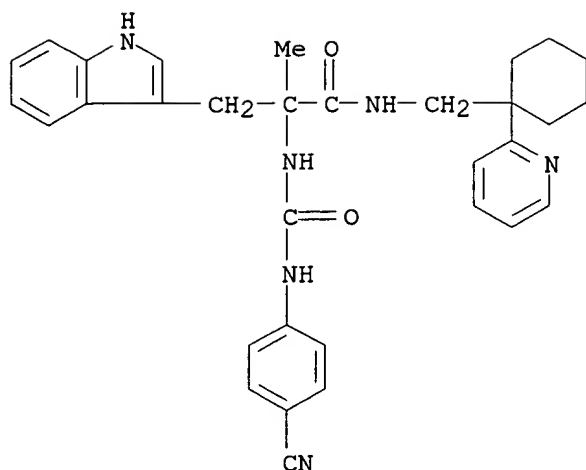
CN 1H-Indole-3-propanamide, N-[(1-hydroxycyclohexyl)methyl]- $\alpha$ -methyl- $\alpha$ -[[[4-nitrophenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



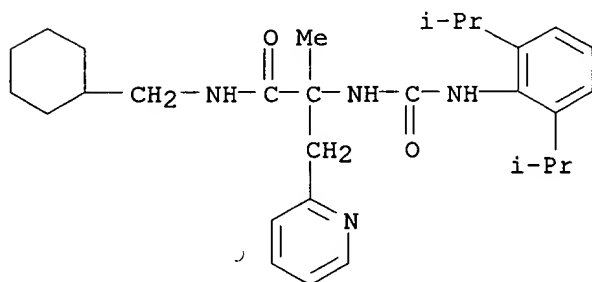
RN 428864-57-7 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -[[[4-cyanophenyl]amino]carbonyl]amino]- $\alpha$ -methyl-N-[[1-(2-pyridinyl)cyclohexyl]methyl]- (9CI) (CA INDEX NAME)

3



RN	428864-59-9	CAPLUS
CN	2-Pyridinepropanamide, $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]-N-(cyclohexylmethyl)- $\alpha$ -methyl- (9CI) (CA INDEX NAME)	



L6 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2002:368981 CAPLUS  
DOCUMENT NUMBER: 136:380137  
TITLE: Bombesin receptor antagonists, and preparation  
thereof, for the treatment of sexual dysfunction  
INVENTOR(S): Gonzalez, Maria Isabel; Pinnock, Robert Denham;  
Pritchard, Martyn Clive  
PATENT ASSIGNEE(S): UK  
SOURCE: U.S. Pat. Appl. Publ., 72 pp., Cont.-in-part of U.  
S. Ser. No. 700,165.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 10  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002058606	A1	20020516	US 2001-759777	20010112
US 2002169101	A1	20021114	US 2001-999284	20011115
ZA 2003003249	A	20040623	ZA 2003-3249	20030425
PRIORITY APPLN. INFO.:			US 1999-133355P	P 19990510

Searcher : Shears 571-272-2528

09/700165

WO 2000-GB1787	W 20000510
US 2000-700165	A2 20001109
US 2001-759777	A2 20010112
GB 2001-9910	A 20010423
GB 2001-11037	A 20010504

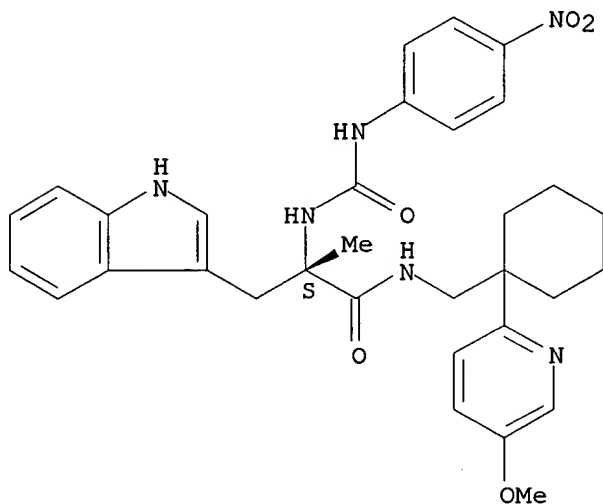
AB Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females.

IT **204067-01-6**  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(bombesin receptor antagonists, preparation, and use for sexual dysfunction treatment, alone or with other agents)

RN 204067-01-6 CAPLUS

CN 1H-Indole-3-propanamide, N-[[1-(5-methoxy-2-pyridinyl)cyclohexyl)methyl]- $\alpha$ -methyl- $\alpha$ -[[[(4-nitrophenyl)amino]carbonyl]amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:487262 CAPLUS

DOCUMENT NUMBER: 131:116519

TITLE: Preparation of N-(phenylcarbamoyl)-amino acid amides as calcitonin mimetics

INVENTOR(S): Petrie, Charles; Mckernan, Patricia A.; Moore, Emma E.; Ostrech, John M.; Meyer, Jean-Philippe; Houghten, Richard A.; Pinella, Clemencia

PATENT ASSIGNEE(S): Zymogenetics, Inc., USA; Trega Biosciences, Inc.

SOURCE: PCT Int. Appl., 55 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

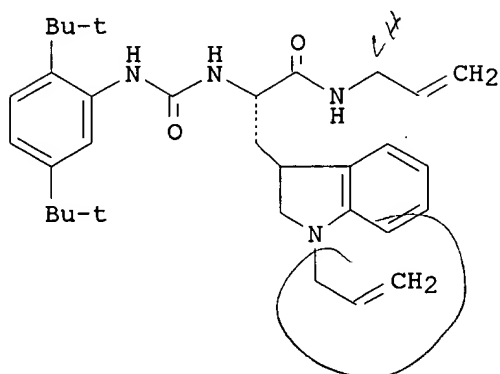
LANGUAGE: English

Searcher : Shears 571-272-2528

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937604	A2	19990729	WO 1999-US1151	19990120
WO 9937604	A3	19991014		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2284864	AA	19990729	CA 1999-2284864	19990120
AU 9922381	A1	19990809	AU 1999-22381	19990120
AU 743631	B2	20020131		
EP 975589	A2	20000202	EP 1999-902386	19990120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001501979	T2	20010213	JP 1999-538414	19990120
US 6221913	B1	20010424	US 1999-233893	19990120
US 6255351	B1	20010703	US 1999-410115	19990930
US 6391917	B1	20020521	US 2001-838726	20010419
PRIORITY APPLN. INFO.:			US 1998-72987P	P 19980121
			US 1999-233893	A3 19990120
			WO 1999-US1151	W 19990120
			US 1999-410115	A3 19990930

OTHER SOURCE(S): MARPAT 131:116519  
GI



AB Dialkyl urea compds. represented by general formula  
 $R_3R_4NC(:Z)NR_5(CH_2)_nCH(R_1)(CH_2)_mCO-XR_2$  [ $R_1, R_2$  = hydrogen, C1-6 alkyl, C1-6 alkenyl, (un)substituted substituted aryl, alkylaryl, substituted alkylaryl, carbocyclic ring, or heterocyclic ring, and combinations thereof, wherein the combinations are fused or covalently linked and the substituents are selected from the group consisting of halogen, haloalkyl, hydroxy, aryloxy, benzyloxy, alkoxy, haloalkoxy, amino, monoalkylamino, dialkylamino, acyloxy, acyl, alkyl and aryl;  $R_3$  = a



2,5 disubstituted aryl; R4, R5 = hydrogen, C1-6 alkyl, or taken together form a ring selected from the group consisting of saturated or unsatd. five-member rings, saturated or unsatd. six-member rings and saturated or unsatd. seven-member rings; Z, X = NH, O, S, or NR, wherein R = C1-6 lower alkyl; n, m = 0 to 6] are prepared These compds. are useful in the treatment of bone-related disorders which are associated with bone resorption and are selected from the group consisting of osteoporosis, Paget's disease, hyperparathyroidism, osteomalacia, periodontal applications (bone loss), hypercalcemia of malignancy and hypercalcemia of infancy. These compds. also provide analgesic effect for relief from bone pain and are also useful for treating conditions associated with inhibiting gastric secretion. The calcitonin mimetics of the present invention are also useful in assays for the determination of calcitonin receptor activity.

Thus,

PhNHCO-Leu-NHMe was prepared by the solid phase method which involved condensation of Boc-Leu-OH to a p-methylbenzhydrylamine (MBHA) resin, Boc-deprotection with CF3CO2H, N $\alpha$ -tritylation by trityl chloride, N-methylation, removal of trityl group, reaction with Ph isocyanate, and resin cleavage. 23 Other N-phenylcarbamoyl-amino acid amides were also prepared The title compound N-phenylcarbamoyl-L-tryptophan I, at 25  $\mu$ g/mL in vitro exhibited 80.63% maximum induction of luciferase in human calcitonin receptor-pos. and receptor-neg. BHK-570 (Baby Hamster Kidney) cell lines.

IT 232603-27-9P 232603-30-4P

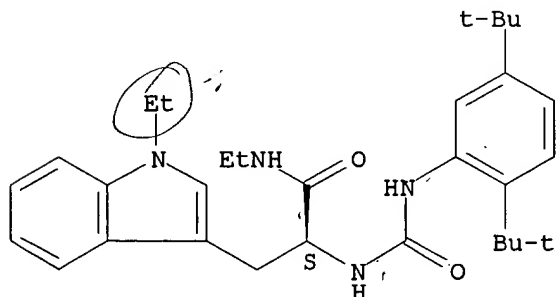
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(phenylcarbamoyl)-amino acid amides as calcitonin mimetics for treating bone resorption-related disorders)

RN 232603-27-9 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,5-bis(1,1-dimethylethyl)phenyl]amino]carbonyl]amino]-N,1-diethyl-, ( $\alpha$ S)-(9CI) (CA INDEX NAME)

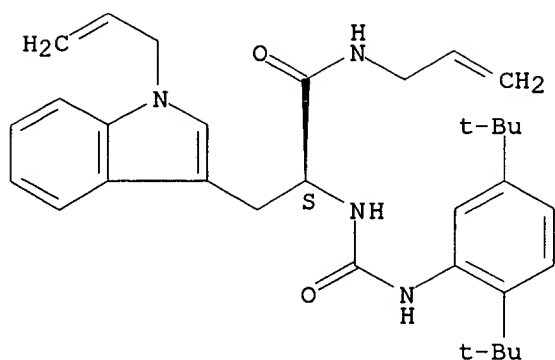
Absolute stereochemistry.



RN 232603-30-4 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,5-bis(1,1-dimethylethyl)phenyl]amino]carbonyl]amino]-N,1-di-2-propenyl-, ( $\alpha$ S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:70361 CAPLUS

DOCUMENT NUMBER: 126:171893

TITLE: Preparation of tryptophan derivatives as tachykinin antagonists

INVENTOR(S): Horwell, David C.; Howson, William; Pritchard, Martyn C.; Roberts, Edward; Rees, David C.

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: U.S., 54 pp., Cont.-in-part of U.S. Ser. No. 97, 264, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

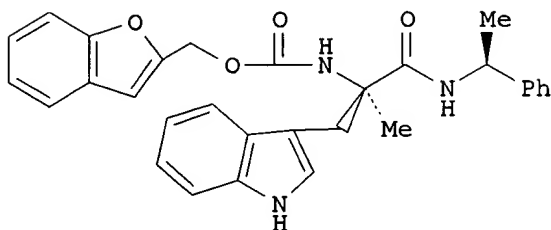
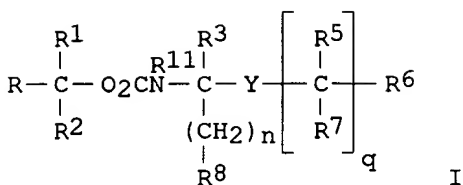
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<del>US 5594022</del>	A	19970114	US 1994-344064	19941129
EP 1000930	A2	20000517	EP 2000-102502	19930812
EP 1000930	A3	20031029		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
ES 2153841	T3	20010316	ES 1993-919974	19930812
PT 655055	T	20010330	PT 1993-919974	19930812
US 5716979	A	19980210	US 1996-727067	19961008
<del>US 5856354</del>	A	19990105	US 1997-953037	19971017
<del>US 5981755</del>	A	19991109	US 1998-168512	19981008
PRIORITY APPLN. INFO.:			US 1992-930252	B2 19920813
			US 1993-97264	B2 19930723
			EP 1993-919974	A3 19930812
			US 1994-344064	A3 19941129
			US 1996-727067	A3 19961008
			US 1997-953037	A3 19971017

OTHER SOURCE(S): MARPAT 126:171893

GI



II

AB The invention concerns tachykinin antagonists I [R, R<sup>6</sup>, R<sup>8</sup> = independently Ph, pyridine, thiophene, furan, naphthalene, indole, benzofuran, or benzothiophene optionally substituted with 1-3 alkyl, OH, alkoxy, NO<sub>2</sub>, halo, NH<sub>2</sub>, CF<sub>3</sub>, C<sub>1</sub>-8 straight alkyl, C<sub>3</sub>-8 branched alkyl, C<sub>5</sub>-8 cycloalkyl, heterocycloalkyl; R, R<sup>2</sup> = independently H, C<sub>1</sub>-4 alkyl; R and R<sup>2</sup> can also form a ring; R<sup>3</sup> = H, (CH<sub>2</sub>)<sub>m</sub>R<sup>13</sup>; Y = COR<sup>4</sup>, CO<sub>2</sub>, COCH<sub>2</sub>, CH<sub>2</sub>O, CH<sub>2</sub>NH, CH:CH, CH<sub>2</sub>CH<sub>2</sub>, CH(OH)CH<sub>2</sub>, heterocyclic residue; R<sup>4</sup>, R<sup>11</sup> = independently H, C<sub>1</sub>-3 alkyl; R<sup>5</sup>, R<sup>7</sup> = independently H, C<sub>1</sub>-4 alkyl; R<sup>13</sup> = H, CN, NH<sub>2</sub>, NMe<sub>2</sub>, NHAc; m = 1-6; n = 1-2; q = 0, 1], nonpeptides which have utility in treating disorders mediated by tachykinins, such as respiratory, inflammatory, gastrointestinal, ophthalmic and vascular disorders, allergies, **pain**, diseases of the central nervous system, and migraine. Methods of preparing compds. I and novel intermediates are also included. The compds. I are expected to be especially useful in asthma and rheumatoid arthritis. Thus, treatment of α-methyltryptophanyl 1-phenethylamide (preparation given) with 2-benzofuranylmethyl 4-nitrophenyl carbonate (preparation given) gave 56% tryptophan amide II. II exhibited IC<sub>50</sub> = 9 nM in an in vitro neurokinin 1 (NK1) receptor binding assay, while related derivs. showed IC<sub>50</sub> = 19 to >10,000 nM. II and related compds. were also active in vivo as NK1 receptor antagonists (ID<sub>50</sub> = 2.8 to 0.0024 mg/kg IV).

IT **159672-33-0P**

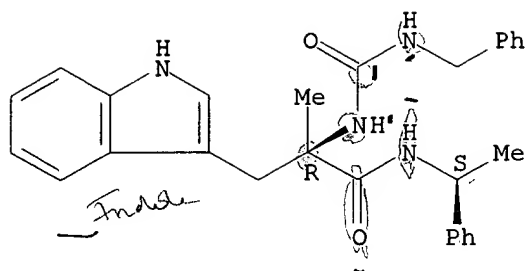
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tryptophan derivs. as tachykinin antagonists)

RN 159672-33-0 CAPLUS

CN 1H-Indole-3-propanamide, α-methyl-N-(1-phenylethyl)-α-[[[(phenylmethyl)amino]carbonyl]amino]-, [R-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L6 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:517105 CAPLUS

DOCUMENT NUMBER: 119:117105

TITLE: Aromatic compounds, pharmaceutical compositions containing them and their use in therapy

INVENTOR(S): Baker, Raymond; MacLeod, Angus Murray; Merchant, Kevin John; Swain, Christopher John

PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

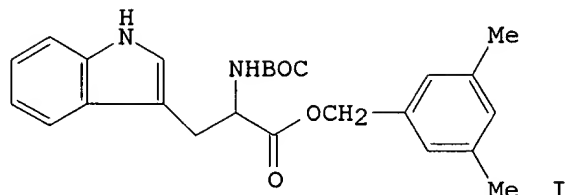
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9301169	A2	19930121	WO 1992-GB1214	19920703
WO 9301169	A3	19931111		
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2110514	AA	19930121	CA 1992-2110514	19920703
AU 9222440	A1	19930211	AU 1992-22440	19920703
AU 664188	B2	19951109		
EP 593557	A1	19940427	EP 1992-914055	19920703
EP 593557	B1	19960131		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
EP 593559	A1	19940427	EP 1992-914089	19920703
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06509332	T2	19941020	JP 1992-502085	19920703
US 5472978	A	19951205	US 1993-162096	19931210
US 5629347	A	19970513	US 1993-170190	19931222
PRIORITY APPLN. INFO.:				
			GB 1991-14550	A 19910705
			GB 1991-14886	A 19910710
			GB 1991-14888	A 19910710
			GB 1992-1881	A 19920129
			GB 1991-14554	A 19910705
			GB 1992-5294	A 19920311
			WO 1992-GB1213	A 19920703

09/700165

WO 1992-GB1214

W 19920703

OTHER SOURCE(S): MARPAT 119:117105  
GI



AB A series of  $\alpha$ -(aminomethyl)heteroaryl amines is claimed; exceptions to the claims are cited. The use of these compds. as inflammation inhibitors, analgesics, for the treatment of migraine and for the treatment of postherpetic neuralgia is claimed. Thus, 3,5-dimethylbenzyl bromide was added to a mixture of N- $\alpha$ -BOC-L-tryptophan, cesium carbonate and water/MeOH to give 3,5-dimethylbenzyl 2-[(1,1-dimethylethoxycarbonyl)amino]-3-(3-indolyl)propionate (I). I had in vitro activity as substance P antagonist (IC<sub>50</sub> = 110 nmol/L).

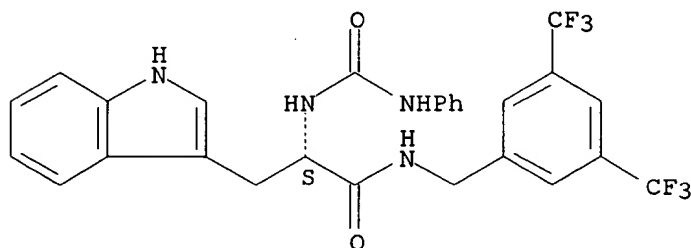
IT 148452-11-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as **analgesic** and inflammation inhibitor  
(substance P antagonist))

RN 148452-11-3 CAPLUS

CN 1H-Indole-3-propanamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-  
 $\alpha$ -[[[(phenylamino)carbonyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:484251 CAPLUS

DOCUMENT NUMBER: 117:84251

TITLE: Cholecystokinin antagonists, their preparation and therapeutic use

INVENTOR(S): Horwell, David Christopher; Kleinschroth, Juergen;  
Rees, David Charles; Richardson, Reginald Stewart;  
Roark, William Howard; Roberts, Edward; Roth,  
Bruce David; Trivedi, Bharat Kalidas; Holmes, Ann;  
Padia, Janak Khimchand

PATENT ASSIGNEE(S): Warner-Lambert Co., USA

SOURCE: PCT Int. Appl., 211 pp.

Searcher : Shears 571-272-2528

09/700165

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9204045	A1	19920319	WO 1991-US6180	19910829
W: AU, CA, FI, JP, KR, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9187492	A1	19920330	AU 1991-87492	19910829
AU 651390	B2	19940721		
EP 547178	A1	19930623	EP 1991-918880	19910829
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06502627	T2	19940324	JP 1991-517185	19910829
ZA 9106922	A	19930301	ZA 1991-6922	19910830
NO 9300709	A	19930415	NO 1993-709	19930226
NO 312298	B1	20020422		
PRIORITY APPLN. INFO.:			US 1990-576628	A 19900831
			US 1991-726655	A 19910712
			WO 1991-US6180	A 19910829

OTHER SOURCE(S): MARPAT 117:84251

AB Cholecystokinin antagonists (Markush included) are provided for treatment of obesity, hypersecretion of gastric acid in the gut, gastrin-dependent tumors, psychotic behavior, anxiety, ulcers, drug withdrawal, and panic. Preparation of the antagonists and intermediates is included; 38 specific compds. are claimed. In receptor binding studies, tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl[1-((2-hydroxy-2-phenylethyl)amino)-3-(1H-indol-3-yl)-2-methylprop-2-yl]carbamate had an inhibition constant of 220 nM. Inhibition consts. for 29 other compds. are tabulated.

IT 142627-75-6P 142627-76-7P

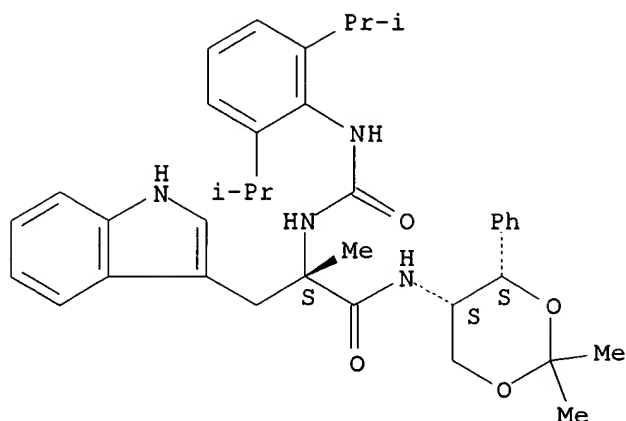
RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, for cholecystokinin antagonist)

RN 142627-75-6 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]-N-(2,2-dimethyl-4-phenyl-1,3-dioxan-5-yl)- $\alpha$ -methyl-, [4S-[4 $\alpha$ ,5 $\alpha$ (R\*)]]- (9CI) (CA INDEX NAME)

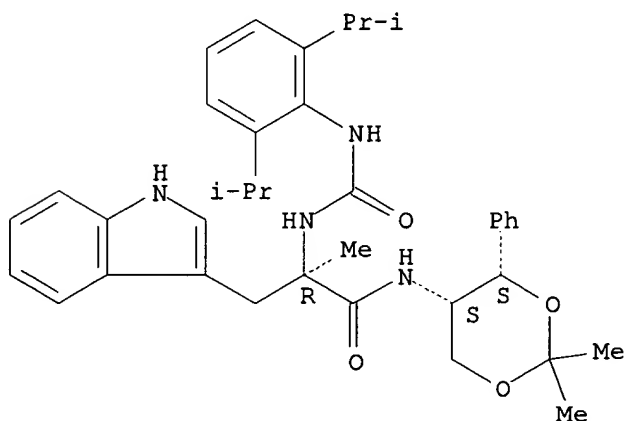
Absolute stereochemistry.

09/700165



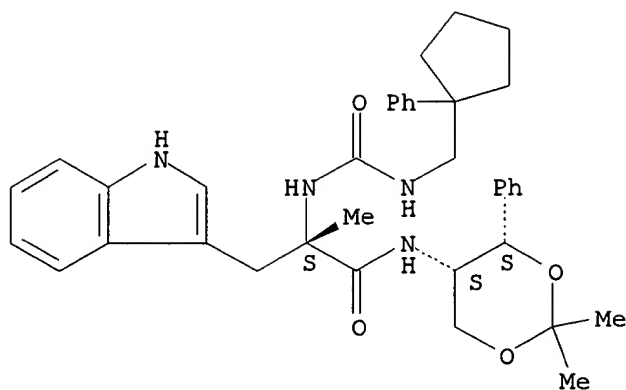
RN 142627-76-7 CAPLUS  
CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]-N-(2,2-dimethyl-4-phenyl-1,3-dioxan-5-yl)- $\alpha$ -methyl-, [4S-[4 $\alpha$ ,5 $\alpha$ (S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 142627-61-0P 142627-64-3P 142627-77-8P  
142697-57-2P 142697-58-3P  
RL: PREP (Preparation)  
(preparation of, for cholecystokinin antagonist)  
RN 142627-61-0 CAPLUS  
CN 1H-Indole-3-propanamide, N-(2,2-dimethyl-4-phenyl-1,3-dioxan-5-yl)- $\alpha$ -methyl- $\alpha$ -[[[(1-phenylcyclopentyl)methyl]amino]carbonyl]amino]-, [4S-[4 $\alpha$ ,5 $\alpha$ (R\*)]]- (9CI) (CA INDEX NAME)

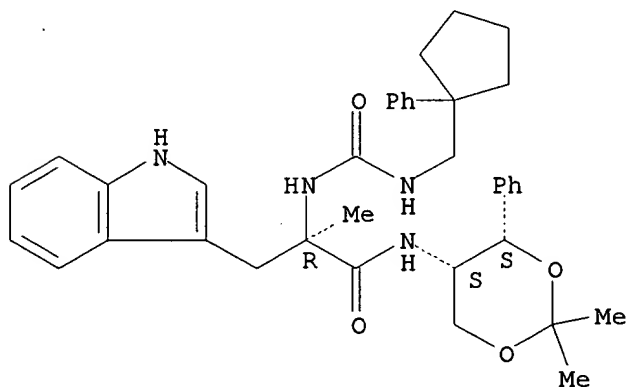
Absolute stereochemistry.



RN 142627-64-3 CAPLUS

CN 1H-Indole-3-propanamide, N-(2,2-dimethyl-4-phenyl-1,3-dioxan-5-yl)-  
 $\alpha$ -methyl- $\alpha$ -[[[(1-phenylcyclopentyl)methyl]amino]carbonyl]  
 amino]-, [4S-[4 $\alpha$ ,5 $\alpha$ (S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



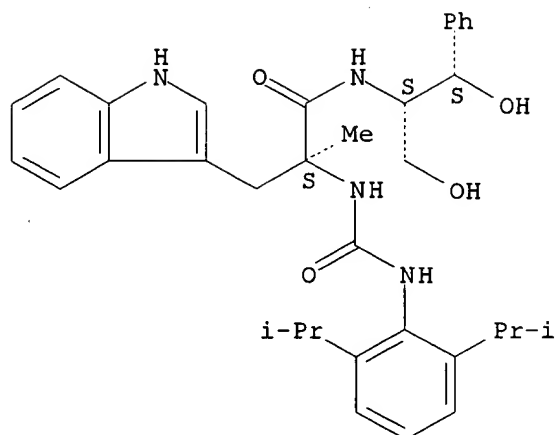
RN 142627-77-8 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -[[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]-N-[2-hydroxy-1-(hydroxymethyl)-2-phenylethyl]- $\alpha$ -methyl-, [1S-[1R\*(R\*),2R\*]]-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



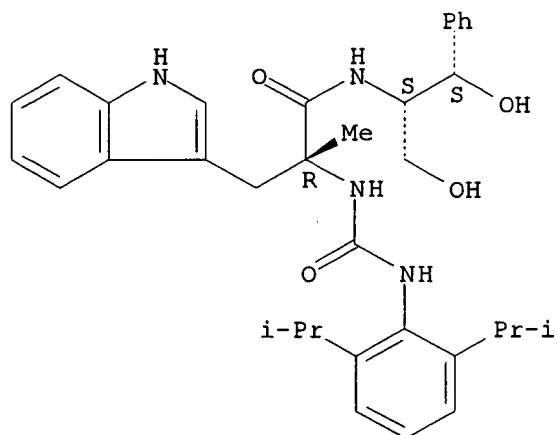
09/700165



RN 142697-57-2 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]-N-[2-hydroxy-1-(hydroxymethyl)-2-phenylethyl]- $\alpha$ -methyl-, [1S-[1R\*(S\*),2R\*]]-(9CI) (CA INDEX NAME)

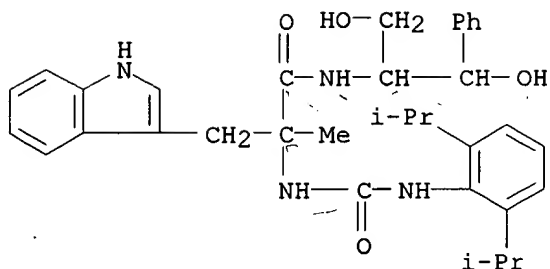
Absolute stereochemistry.



RN 142697-58-3 CAPLUS

CN 1H-Indole-3-propanamide,  $\alpha$ -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]-N-[2-hydroxy-1-(hydroxymethyl)-2-phenylethyl]- $\alpha$ -methyl- (9CI) (CA INDEX NAME)

09/700165



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428864-54-4/BI OR 428864-55-5/BI OR 428864-56-6/BI OR  
428864-57-7/BI OR 428864-59-9/BI OR 758698-57-6/BI OR  
758698-59-8/BI OR 851968-37-1/BI)

FILE 'CAOLD' ENTERED AT 15:30:17 ON 11 OCT 2005

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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L8 0 L7

FILE 'USPATFULL' ENTERED AT 15:30:24 ON 11 OCT 2005

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Searcher : Shears 571-272-2528

09/700165

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 6 Oct 2005 (20051006/PD)  
FILE LAST UPDATED: 6 Oct 2005 (20051006/ED)  
HIGHEST GRANTED PATENT NUMBER: US6952836  
HIGHEST APPLICATION PUBLICATION NUMBER: US2005223461  
CA INDEXING IS CURRENT THROUGH 6 Oct 2005 (20051006/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 6 Oct 2005 (20051006/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2005  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2005

>>> USPAT2 is now available. USPATFULL contains full text of the <<<  
>>> original, i.e., the earliest published granted patents or <<<  
>>> applications. USPAT2 contains full text of the latest US <<<  
>>> publications, starting in 2001, for the inventions covered in <<<  
>>> USPATFULL. A USPATFULL record contains not only the original <<<  
>>> published document but also a list of any subsequent <<<  
>>> publications. The publication number, patent kind code, and <<<  
>>> publication date for all the US publications for an invention <<<  
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<  
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>>> classifications, or claims, that may potentially change from <<<  
>>> the earliest to the latest publication. <<<

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substance identification.

L9 14 L7

L9 ANSWER 1 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2005:138595 USPATFULL  
TITLE: GlyT2 modulators  
INVENTOR(S): Barclay, Tristin K., Denver, CO, UNITED STATES  
Santillan, Alejandro JR., San Diego, CA, UNITED STATES  
Tang, Liu Y., San Diego, CA, UNITED STATES  
Venkatesan, Hariharan, San Diego, CA, UNITED STATES  
Wolin, Ronald L., San Diego, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005119245	A1	20050602
APPLICATION INFO.:	US 2004-976067	A1	20041028 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-515949P	20031030 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PHILIP S. JOHNSON, JOHNSON & JOHNSON, ONE JOHNSON & JOHNSON PLAZA, NEW BRUNSWICK, NJ, 08933-7003, US	
NUMBER OF CLAIMS:	24	
EXEMPLARY CLAIM:	1	
LINE COUNT:	5365	

Searcher : Shears 571-272-2528

09/700165

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Certain  $\alpha$ -,  $\beta$ -, and  $\gamma$ -amino acid derivatives are disclosed as selective GlyT2 inhibitors for the treatment of central nervous system (CNS) conditions such as muscle spasticity, tinnitus, epilepsy and neuropathic pain.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 2 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2002:301556 USPATFULL  
TITLE: Treatment of sexual dysfunction  
INVENTOR(S): Gonzalez, Maria Isabel, Cambridge, UNITED KINGDOM  
Higginbottom, Michael, Cambridge, UNITED KINGDOM  
Stock, Herman Thijs, Wijchen, NETHERLANDS  
Pritchard, Martyn Clive, Huntingdon, UNITED KINGDOM  
Pinnock, Robert Denham, Cambridgeshire, UNITED KINGDOM  
Van Der Graaf, Pieter Hadewijn, Kent, UNITED KINGDOM  
Naylor, Alisdair Mark, Kent, UNITED KINGDOM  
Wayman, Christopher Peter, Kent, UNITED KINGDOM

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002169101	A1	20021114
APPLICATION INFO.:	US 2001-999284	A1	20011115 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 2001-759777, filed on 12 Jan 2001, PENDING Continuation-in-part of Ser. No. US 2000-700165, filed on 9 Nov 2000, PENDING A 371 of International Ser. No. WO 2000-GB1787, filed on 10 May 2000, UNKNOWN		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2001-9910	20010423
	GB 2001-11037	20010504
	US 1999-133355P	19990510 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	WARNER-LAMBERT COMPANY, 2800 PLYMOUTH ROAD, ANN ARBOR, MI, 48107	
NUMBER OF CLAIMS:	67	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	24 Drawing Page(s)	
LINE COUNT:	5522	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females. They may be selective BB1 antagonists or mixed BB1/BB2 antagonists. Combinations are disclosed of bombesin receptor antagonists with a range of other active compounds, for example PDE5 inhibitors, NEP inhibitors and lasofoxifene.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 3 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2002:116302 USPATFULL  
TITLE: Dialkyl ureas as calcitonin mimetics  
INVENTOR(S): Petrie, Charles R., Woodinville, WA, United States

Searcher : Shears 571-272-2528

09/700165

PATENT ASSIGNEE(S): McKernan, Patricia A., Woodinville, WA, United States  
Moore, Emma E., Seattle, WA, United States  
Ostresh, John M., Encinitas, CA, United States  
Meyer, Jean-Philippe, Holland, PA, United States  
Houghten, Richard A., Del Mar, CA, United States  
Pinilla, Clemencia, Cardiff, CA, United States  
ZymoGenetics, Inc., Seattle, WA, United States  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6391917	B1	20020521
APPLICATION INFO.:	US 2001-838726		20010419 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1999-410115, filed on 30 Sep 1999, now patented, Pat. No. US 6255351		
	Division of Ser. No. US 1999-233893, filed on 20 Jan 1999, now patented, Pat. No. US 6221913, issued on 24 Apr 2001		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-72987P	19980121 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	O'Sullivan, Peter	
LEGAL REPRESENTATIVE:	Lingenfelter, Susan E., Walsh, Brian J.	
NUMBER OF CLAIMS:	7	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)	
LINE COUNT:	910	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Dialkyl urea compounds are described which act as calcitonin mimetics. These compounds are useful in the treatment of diseases which are associated with bone resorption. The calcitonin mimetics of the present invention are also useful in assays for the determination of calcitonin receptor activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 4 OF 14 USPATFULL on STN

ACCESSION NUMBER: 2002:112865 USPATFULL  
TITLE: Treatment of sexual dysfunction  
INVENTOR(S): Gonzalez, Maria Isabel, Cambridge, UNITED KINGDOM  
Pinnock, Robert Denham, Cambridge, UNITED KINGDOM  
Pritchard, Martyn Clive, Huntingdon, UNITED KINGDOM

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002058606 ✓	A1	20020516
APPLICATION INFO.:	US 2001-759777	A1	20010112 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 700165, PENDING A 371 of International Ser. No. WO 2000-GB1787, filed on 10 May 2000, UNKNOWN		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-133355P	19990510 (60)
DOCUMENT TYPE:	Utility	

Searcher : Shears 571-272-2528

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FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: Warner-Lambert Company, 2800 Plymouth Road, Ann Arbor, MI, 48105  
NUMBER OF CLAIMS: 23  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 21 Drawing Page(s)  
LINE COUNT: 3590  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
AB Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 5 OF 14 USPATFULL on STN  
ACCESSION NUMBER: 2001:102861 USPATFULL  
TITLE: Dialkyl ureas as calcitonin mimetics  
INVENTOR(S): Petrie, Charles R., Woodinville, WA, United States  
McKernan, Patricia A., Woodinville, WA, United States  
Moore, Emma E., Seattle, WA, United States  
Ostresh, John M., Encinitas, CA, United States  
Meyer, Jean-Philippe, Holland, PA, United States  
Houghten, Richard A., Del Mar, CA, United States  
Pinilla, Clemencia, Cardiff, CA, United States  
PATENT ASSIGNEE(S): ZymoGenetics, Inc., Seattle, WA, United States  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6255351	B1	20010703
APPLICATION INFO.:	US 1999-410115		19990930 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1999-233893, filed on 20 Jan 1999		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-72987P	19980121 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	O'Sullivan, Peter	
LEGAL REPRESENTATIVE:	Lingenfelter, Susan	
NUMBER OF CLAIMS:	6	
EXEMPLARY CLAIM:	1	
LINE COUNT:	902	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
AB Dialkyl urea compounds are described which act as calcitonin mimetics. These compounds are useful in the treatment of diseases which are associated with bone resorption. The calcitonin mimetics of the present invention are also useful in assays for the determination of calcitonin receptor activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 6 OF 14 USPATFULL on STN  
ACCESSION NUMBER: 2001:59933 USPATFULL  
TITLE: Dialkyl ureas as calcitonin mimetics  
INVENTOR(S): Petrie, Charles R., Woodinville, WA, United States  
McKernan, Patricia A., Woodinville, WA, United States

Searcher : Shears 571-272-2528

09/700165

PATENT ASSIGNEE(S): Moore, Emma E., Seattle, WA, United States  
Ostresh, John M., Encinitas, CA, United States  
Meyer, Jean-Philippe, Holland, PA, United States  
Houghten, Richard A., Del Mar, CA, United States  
Pinilla, Clemencia, Cardiff, CA, United States  
ZymoGenetics, Inc., Seattle, WA, United States  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6221913	B1	20010424
APPLICATION INFO.:	US 1999-233893		19990120 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-72987P	19980121 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	O'Sullivan, Peter	
LEGAL REPRESENTATIVE:	Lingenfelter, Susan E.	
NUMBER OF CLAIMS:	13	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1007	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Dialkyl urea compounds are described which act as calcitonin mimetics. These compounds are useful in the treatment of diseases which are associated with bone resorption. The calcitonin mimetics of the present invention are also useful in assays for the determination of calcitonin receptor activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 7 OF 14 USPATFULL on STN  
ACCESSION NUMBER: 2001:29589 USPATFULL  
TITLE: Non-peptide bombesin receptor antagonists  
INVENTOR(S): Horwell, David Christopher, Cambridge, United Kingdom  
Pritchard, Martyn Clive, Cambridgeshire, United Kingdom  
PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6194437	B1	20010227
	WO 9807718		19980226
APPLICATION INFO.:	US 1999-230933		19990203 (9)
	WO 1997-US13871		19970806
			19990203 PCT 371 date
			19990203 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-24323P	19960822 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Davis, Zinna Northington	
LEGAL REPRESENTATIVE:	Anderson, Elizabeth M.	
NUMBER OF CLAIMS:	20	

Searcher : Shears 571-272-2528

EXEMPLARY CLAIM: 1  
 LINE COUNT: 2121  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The compounds of the instant invention are novel compounds of  
 Formula I ##STR1##

or a pharmaceutically acceptable salt thereof wherein Ar is phenyl  
 or pyridyl unsubstituted or substituted. Ar.sup.1 can be  
 independently selected from Ar and can also include pyridyl-N-oxide,  
 indolyl, imidazole, and pyridyl; R.sup.3 can be independently  
 selected from Ar or is hydrogen, hydroxy, NMe.sub.2,  
 N-methyl-pyrrole, imidazole, tetrazole, thiazole (a), (b), (c), or  
 (d), wherein Ar.sup.2 is phenyl or pyridyl. The instant compounds  
 antagonize the bombesin receptors in mammals and are therefore  
 effective in treating and/or preventing depression, psychoses,  
 seasonal affective disorders, cancer, feeding disorders,  
 gastrointestinal disorders, inflammatory bowel disease, sleep  
 disorders, and memory impairment.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 8 OF 14 USPATFULL on STN

ACCESSION NUMBER: 1999:142162 USPATFULL  
 TITLE: Tachykinin antagonists  
 INVENTOR(S): Horwell, David Christopher, Foxton, United Kingdom  
 Howson, William, Weston Colville, United Kingdom  
 Pritchard, Martyn Clive, St. Ives, United Kingdom  
 Roberts, Edward, Newmarket, United Kingdom  
 Rees, David Charles, Glasgow, United Kingdom  
 PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United  
 States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5981755 ✓		19991109
APPLICATION INFO.:	US 1998-168512		19981008 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1993-953037, filed on 17 Oct 1993, now patented, Pat. No. US 5856354 which is a division of Ser. No. US 1996-727067, filed on 8 Oct 1996, now patented, Pat. No. US 5716979 which is a division of Ser. No. US 1994-344064, filed on 29 Nov 1994, now patented, Pat. No. US 5594022 And a continuation-in-part of Ser. No. US 1993-97264, filed on 23 Jul 1993, now abandoned And a continuation-in-part of Ser. No. US 1992-930252, filed on 13 Aug 1992, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Richter, Johann		
ASSISTANT EXAMINER:	Oswecki, Jane C.		
LEGAL REPRESENTATIVE:	Anderson, Elizabeth M.		
NUMBER OF CLAIMS:	2		
EXEMPLARY CLAIM:	2		
LINE COUNT:	3101		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention concerns tachykinin antagonists. The compounds are  
 nonpeptides which have utility in treating disorders mediated by  
 tachykinins. Such disorders are respiratory, inflammatory,  
 gastrointestinal, ophthalmic, allergies, pain, vascular, diseases of



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the central nervous system, and migraine. Methods of preparing compounds and novel intermediates are also included. The compounds are expected to be especially useful in asthma and rheumatoid arthritis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 9 OF 14 USPATFULL on STN

ACCESSION NUMBER: 1999:118457 USPATFULL  
TITLE: Non-volatile semiconductor memory device and method of manufacturing non-volatile semiconductor memory device  
INVENTOR(S): Araki, Hitoshi, Yokkaichi, Japan  
Hatakeyama, Kazuo, Tokyo-to, Japan  
PATENT ASSIGNEE(S): Kabushiki Kaisha Toshiba, Kawasaki, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5959888		19990928
APPLICATION INFO.:	US 1998-72987		19980506 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1997-116753	19970507
	JP 1998-75343	19980324
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Nelms, David	
ASSISTANT EXAMINER:	Lam, David	
LEGAL REPRESENTATIVE:	Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.	
NUMBER OF CLAIMS:	13	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	66 Drawing Figure(s); 11 Drawing Page(s)	
LINE COUNT:	967	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The non-volatile semiconductor device includes a sub control gate in addition to the conventional structure having a control gate and a floating gate. When writing or erasing is performed, by applying various to the control gate and the sub control gate, the potential of the floating gate which is capacitively connected to the control and sub control gates is determined. Accordingly, the floating gate voltage is maintained at lower control voltage compared to conventional one by selecting larger coupling ratio. The sub control gate covering a part where charge concentration apt to occur avoids charge concentration and deterioration of the tunnel oxide film.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 10 OF 14 USPATFULL on STN

ACCESSION NUMBER: 1999:1685 USPATFULL  
TITLE: Tachykinin antagonists  
INVENTOR(S): Horwell, David Christopher, Foxton, England  
Howson, William, Weston Colville, England  
Pritchard, Martyn Clive, St. Ives, England  
Roberts, Edward, Wood Ditton, England  
Rees, David Charles, Glasgow, Scotland  
PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United

Searcher : Shears 571-272-2528

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States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5856354 ✓		19990105
APPLICATION INFO.:	US 1997-953037		19971017 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1996-727067, filed on 8 Oct 1996, now patented, Pat. No. US 5716979 which is a division of Ser. No. US 1994-344064, filed on 29 Nov 1994, now patented, Pat. No. US 5594022 And a continuation-in-part of Ser. No. US 1993-97264, filed on 23 Jul 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-930252, filed on 13 Aug 1992, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Richter, Johann		
ASSISTANT EXAMINER:	Oswecki, Jane C.		
LEGAL REPRESENTATIVE:	Anderson, Elizabeth M.		
NUMBER OF CLAIMS:	31		
EXEMPLARY CLAIM:	1		
LINE COUNT:	3351		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention concerns tachykinin antagonists. The compounds are neopeptides which have utility in treating disorders mediated by tachykinins. Such disorders are respiratory, inflammatory, gastrointestinal, ophthalmic, allergies, pain, vascular, diseases of the central nervous system, and migraine. Methods of preparing compounds and novel intermediates are also included. The compounds are expected to be especially useful in asthma and rheumatoid arthritis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 11 OF 14 USPATFULL on STN

ACCESSION NUMBER: 1998:154250 USPATFULL  
TITLE: Cholecystokinin antagonists, their preparation and therapeutic use  
INVENTOR(S): Horwell, David Christopher, Foxton, England  
Roberts, Edward, New Market, England  
Holmes, Ann, Dexter, MI, United States  
Padia, Janak Khimchand, Ann Arbor, MI, United States  
Roark, William Howard, Ann Arbor, MI, United States  
Roth, Bruce David, Ann Arbor, MI, United States  
Trivedi, Bharat Kalidas, Farmington Hills, MI, United States  
Kleinschroth, Jurgen, Denzlingen, Germany, Federal Republic of  
PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5846942		19981208
APPLICATION INFO.:	US 1996-709316		19960909 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1993-41647, filed on 1 Apr 1993, now patented, Pat. No. US 5593967 which is a continuation-in-part of Ser. No. US 1992-839647,		

Searcher : Shears 571-272-2528

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filed on 21 Feb 1992, now abandoned which is a  
continuation-in-part of Ser. No. US 1991-726655,  
filed on 12 Jul 1991, now abandoned which is a  
continuation-in-part of Ser. No. US 1990-576628,  
filed on 31 Aug 1990, now abandoned

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Tsang, Cecilia J.  
ASSISTANT EXAMINER: Borin, Michael  
LEGAL REPRESENTATIVE: Anderson, Elizabeth M.  
NUMBER OF CLAIMS: 6  
EXEMPLARY CLAIM: 1  
LINE COUNT: 4737

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel cholecystokinin antagonists useful as agents in the treatment of obesity, hypersecretion of gastric acid in the gut, gastrin-dependent tumors, or as antipsychotics are disclosed. Further, the compounds are antianxiety agents and antiulcer agents. They are agents useful for preventing the response to the withdrawal from chronic treatment with use of nicotine, diazepam, alcohol, cocaine, coffee, or opioids. The compounds of the invention are also useful in treating and/or preventing panic. Also disclosed are pharmaceutical compositions and methods of treatment using the antagonists as well as processes for preparing them and novel intermediates useful in their preparation. An additional feature of the invention is the use of the subject compounds in diagnostic compositions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 12 OF 14 USPATFULL on STN

ACCESSION NUMBER: 1998:14826 USPATFULL  
TITLE: Tachykinin antagonists  
INVENTOR(S): Horwell, David Christopher, Foxton, England  
Howson, William, Weston Colville, England  
Pritchard, Martyn Clive, St. Ives, England  
Roberts, Edward, Wood Ditton, England  
Rees, David Charles, Glasgow, Scotland  
PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5716979		19980210
APPLICATION INFO.:	US 1996-727067		19961008 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-344064, filed on 29 Nov 1994, now patented, Pat. No. US 5594022 And a continuation-in-part of Ser. No. US 1993-97264, filed on 23 Jul 1993, now abandoned And a continuation-in-part of Ser. No. US 1992-930252, filed on 13 Aug 1992, now abandoned		

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Richter, Johann  
ASSISTANT EXAMINER: Oswecki, Jane C.  
LEGAL REPRESENTATIVE: Anderson, Elizabeth M.  
NUMBER OF CLAIMS: 33  
EXEMPLARY CLAIM: 1  
LINE COUNT: 3367

Searcher : Shears 571-272-2528

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention concerns tachykinin antagonists. The compounds are nonpeptides which have utility in treating disorders mediated by tachykinins. Such disorders are respiratory, inflammatory, gastrointestinal, ophthalmic, allergies, pain, vascular, diseases of the central nervous system, and migraine. Methods of preparing compounds and novel intermediates are also included.

The compounds are expected to be especially useful in asthma and rheumatoid arthritis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 13 OF 14 USPATFULL on STN

ACCESSION NUMBER: 97:3869 USPATFULL

TITLE: Tachykinin antagonists

INVENTOR(S): Horwell, David C., Foxton, England

Howson, William, Weston Colville, England

Pritchard, Martyn C., St. Ives, England

Roberts, Edward, Wood Ditton, England

Rees, David C., Glasgow, Scotland

PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5594022		19970114
APPLICATION INFO.:	US 1994-344064		19941129 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1993-97264, filed on 23 Jul 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-930252, filed on 13 Aug 1992, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Springer, David B.		
LEGAL REPRESENTATIVE:	Anderson, Elizabeth M.		
NUMBER OF CLAIMS:	51		
EXEMPLARY CLAIM:	1		
LINE COUNT:	3534		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention concerns tachykinin antagonists. The compounds are nonpeptides which have utility in treating disorders mediated by tachykinins. Such disorders are respiratory, inflammatory, gastrointestinal, ophthalmic, allergies, pain, vascular, diseases of the central nervous system, and migraine. Methods of preparing compounds and novel intermediates are also included.

The compounds are expected to be especially useful in asthma and rheumatoid arthritis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 14 OF 14 USPATFULL on STN

ACCESSION NUMBER: 97:3815 USPATFULL

TITLE: Cholecystokinin antagonists, their preparation and therapeutic use

INVENTOR(S): Horwell, David C., Cambridge, England

Roberts, Edward, Wood Ditton, England

Holmes, Ann, Dexter, MI, United States

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PATENT ASSIGNEE(S): Padia, Janak K., Ann Arbor, MI, United States  
Roark, William H., Ann Arbor, MI, United States  
Roth, Bruce D., Ann Arbor, MI, United States  
Trivedi, Bharat K., Farmington Hills, MI, United States  
Kleinschroth, Jurgen, Denzlingen, Germany, Federal Republic of  
Rees, David C., Cambridge, England  
Richardson, Reginald S., Haverhill, England  
Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5593967		19970114
APPLICATION INFO.:	US 1993-41647		19930401 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1992-839647, filed on 21 Feb 1992, now abandoned which is a continuation-in-part of Ser. No. US 1991-726655, filed on 12 Jul 1991, now abandoned which is a continuation-in-part of Ser. No. US 1990-576628, filed on 31 Aug 1990, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Weimar, Elizabeth C.		
ASSISTANT EXAMINER:	Marshall, Sg		
LEGAL REPRESENTATIVE:	Anderson, Elizabeth M.		
NUMBER OF CLAIMS:	8		
EXEMPLARY CLAIM:	1		
LINE COUNT:	4574		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel cholecystokinin antagonists useful as agents in the treatment of obesity, hypersecretion of gastric acid in the gut, gastrin-dependent tumors, or as antipsychotics are disclosed. Further, the compounds are antianxiety agents and antiulcer agents. They are agents useful for preventing the response to the withdrawal from chronic treatment with use of nicotine, diazepam, alcohol, cocaine, coffee, or opioids. The compounds of the invention are also useful in treating and/or preventing panic. Also disclosed are pharmaceutical compositions and methods of treatment using the antagonists as well as processes for preparing them and novel intermediates useful in their preparation. An additional feature of the invention is the use of the subject compounds in diagnostic compositions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

FILE 'MEDLINE' ENTERED AT 15:30:32 ON 11 OCT 2005

FILE 'BIOSIS' ENTERED AT 15:30:32 ON 11 OCT 2005  
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L10 9 L7

=> dup rem l10  
PROCESSING COMPLETED FOR L10

Searcher : Shears 571-272-2528

L11 9 DUP REM L10 (0 DUPLICATES REMOVED)

L11 ANSWER 1 OF 9 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN

ACCESSION NUMBER: 2003:499337 BIOSIS

DOCUMENT NUMBER: PREV200300501538

TITLE: Nonpeptide gastrin releasing peptide receptor antagonists inhibit the proliferation of lung cancer cells.

AUTHOR(S): Moody, Terry W. [Reprint Author]; Leyton, Julius; Garcia-Marin, Luis; Jensen, Robert T.

CORPORATE SOURCE: Office of the Director, CCR, NCI, 31 Center Drive, Building 31, Room 3A34, Bethesda, MD, 20892, USA  
moodyt@mail.nih.govSOURCE: European Journal of Pharmacology, (1 August 2003) Vol. 474, No. 1, pp. 21-29. print.  
ISSN: 0014-2999 (ISSN print).

DOCUMENT TYPE: Article

LANGUAGE: English

ENTRY DATE: Entered STN: 29 Oct 2003

Last Updated on STN: 29 Oct 2003

AB The ability of nonpeptide antagonists to interact with gastrin releasing peptide receptors on lung cancer cells was investigated. PD176252 (3-(1H-Indol-3-yl)-N-(1-(5-methoxy-pyridin-2-yl)-cyclobexylmethyl)-2-methyl-2-(3-(4-nitro-phenyl)-ureido)-propionamide) and PD168368 (3-(1H-Indol-3-yl)-2-methyl-2-(3(4-nitro-phenyl)-ureido)-N-(1-pyridin-2-yl-cyclohexylmethyl)-propionamide) inhibited specific 125I-gastrin releasing peptide binding to NCI-H1299 cells with IC50 values of 20 and 1500 nM, respectively. Similar binding results were obtained using NCI-H157, H345 and N592 human lung cancer cells. PD176252 inhibited the ability of 1 nM bombesin to cause elevation of cytosolic calcium in Fura-2 loaded NCI-H345 or H1299 cells, whereas it had no effect on basal cytosolic calcium. PD176252 antagonized the ability of 10 nM bombesin to cause elevation of c-fos mRNA in NCI-H1299 cells. Also, PD176252 inhibited the ability of 100 nM bombesin to cause tyrosine phosphorylation of focal adhesion kinase in NCI-H1299 cells. Using a (3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyl-2H-tetrazolium bromide) assay, PD176252 was more potent than PD168368 at inhibiting NCI-H1299 proliferation. Also, 1  $\mu$ M PD176252 significantly inhibited lung cancer colony number in vitro. PD176252 in a dose-dependent manner inhibited NCI-H1299 xenograft growth in nude mice in vivo. These results indicate that PD176252 is a gastrin releasing peptide receptor antagonist, which inhibits the proliferation of lung cancer cells.

L11 ANSWER 2 OF 9 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN

ACCESSION NUMBER: 2001:283552 BIOSIS

DOCUMENT NUMBER: PREV200100283552

TITLE: Tyrosine 220 in the 5th transmembrane domain of the neuromedin B receptor is critical for the high selectivity of the peptoid antagonist PD168368.

AUTHOR(S): Tokita, Kenji; Hocart, Simon J.; Katsuno, Tatsuro; Mantey, Samuel A.; Coy, David H.; Jensen, Robert T.  
[Reprint author]CORPORATE SOURCE: Digestive Diseases Branch, NIDDK, National Institutes of Health, 10 Center Dr., Bldg. 10, Rm. 9C-103, Bethesda, MD, 20892-1804, USA  
robertj@bdg10.niddk.nih.gov

SOURCE: Journal of Biological Chemistry, (January 5, 2001) Vol. 276, No. 1, pp. 495-504. print.  
CODEN: JBCHA3. ISSN: 0021-9258.

DOCUMENT TYPE: Article

LANGUAGE: English

ENTRY DATE: Entered STN: 13 Jun 2001

Last Updated on STN: 19 Feb 2002

AB Peptoid antagonists are increasingly being described for G protein-coupled receptors; however, little is known about the molecular basis of their binding. Recently, the peptoid PD168368 was found to be a potent selective neuromedin B receptor (NMBR) antagonist. To investigate the molecular basis for its selectivity for the NMBR over the closely related receptor for gastrin-releasing peptide (GRPR), we used a chimeric receptor approach and a site-directed mutagenesis approach. Mutated receptors were transiently expressed in Balb 3T3. The extracellular domains of the NMBR were not important for the selectivity of PD168368. However, substitution of the 5th upper transmembrane domain (uTM5) of the NMBR by the comparable GRPR domains decreased the affinity 16-fold. When the reverse study was performed by substituting the uTM5 of NMBR into the GRPR, a 9-fold increase in affinity occurred. Each of the 4 amino acids that differed between NMBR and GRPR in the uTM5 region were exchanged, but only the substitution of Phe220 for Tyr in the NMBR caused a decrease in affinity. When the reverse study was performed to attempt to demonstrate a gain of affinity in the GRPR, the substitution of Tyr219 for Phe caused an increase in affinity. These results suggest that the hydroxyl group of Tyr220 in uTM5 of NMBR plays a critical role for high selectivity of PD168368 for NMBR over GRPR. Receptor and ligand modeling suggests that the hydroxyl of the Tyr220 interacts with nitrophenyl group of PD168368 likely primarily by hydrogen bonding. This result shows the selectivity of the peptoid PD168368, similar to that reported for numerous non-peptide analogues with other G protein-coupled receptors, is primarily dependent on interaction with transmembrane amino acids.

L11 ANSWER 3 OF 9 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN

ACCESSION NUMBER: 2001:38566 BIOSIS

DOCUMENT NUMBER: PREV200100038566

TITLE: Nonpeptide neuromedin B receptor antagonists inhibit the proliferation of C6 cells.

AUTHOR(S): Moody, Terry W. [Reprint author]; Jensen, Robert T.; Garcia, Luis; Leyton, Julius

CORPORATE SOURCE: Cell and Cancer Biology Department, Medicine Branch, National Cancer Institute, 9610 Medical Center Drive, Bldg. KWC, Rm. 300, Rockville, MD, 20850, USA  
moodyt@bprb.nci.nih.gov

SOURCE: European Journal of Pharmacology, (8 December, 2000) Vol. 409, No. 2, pp. 133-142. print.  
CODEN: EJPHAZ. ISSN: 0014-2999.

DOCUMENT TYPE: Article

LANGUAGE: English

ENTRY DATE: Entered STN: 17 Jan 2001

Last Updated on STN: 15 Feb 2002

AB The ability of nonpeptide antagonists to interact with neuromedin B receptors on C6 cells was investigated. 2-(3-(2,6-Diisopropylphenyl)-ureido)3-(1H-indol-3-yl)-2-methyl-N-(1-pyridin-2-yl-cyclohexylmethyl)-propionate (PD165929), 3-(1H-indol-3-yl)-2-methyl-2-(3(4-nitrophenyl)-ureido)-N-(1-pyridin-2-yl-cyclohexylmethyl)-propionamide

(PD168368) and 3-(1H-indol-3-yl)-N-(1-(5-methoxy-pyridin-2-yl)-cyclohexylmethyl)-2-methyl-2-(3-(4-mitro-phenyl)-ureido)-propionamide (PD176252) inhibited (<sup>125</sup>I-Tyr0)neuromedin B binding with IC<sub>50</sub> values of 2000, 40 and 50 nM, respectively. Because neuromedin B is a G-protein coupled serpentine receptor, the effects of neuromedin B antagonists on second messenger production and proliferation were investigated. PD168368 inhibited the ability of 10 nM neuromedin B to cause elevation of cytosolic Ca<sup>2+</sup>, whereas it had no effect on basal cytosolic Ca<sup>2+</sup>. PD168368 inhibited the ability of 100 nM neuromedin B to cause elevation of c-fos mRNA. Also, PD168368 in a dose-dependent manner inhibited the ability of 100 nM neuromedin B to cause phosphorylation of focal adhesion kinase. Using a (3-(4,5 dimethylthiazol-2-yl)-2.5-diphenyl-2H-tetrazolium bromide) assay, the order of antagonist potency to inhibit C6 proliferation was PD168368 = PD176252 > PD165929. Also, 1 μM PD168368 and PD176252 significantly inhibited colony number using a proliferation assay in vitro. PD168368 significantly inhibited C6 xenograft growth in nude mice in vivo. These results indicate that PD168368 is a C6 cell neuromedin B receptor antagonist, which inhibits proliferation.

L11 ANSWER 4 OF 9 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN

ACCESSION NUMBER: 1999:439452 BIOSIS  
 DOCUMENT NUMBER: PREV199900439452  
 TITLE: Comparative pharmacology of the nonpeptide neuromedin B receptor antagonist PD 168368.  
 AUTHOR(S): Ryan, Richard R.; Katsuno, Tatsuro; Mantey, Samuel A.; Pradhan, Tapas K.; Weber, H. Christian; Coy, David H.; Battey, James F.; Jensen, Robert T. [Reprint author]  
 CORPORATE SOURCE: Digestive Diseases Branch, National Institutes of Health, National Institute of Diabetes and Digestive and Kidney Diseases, 10 Center Dr., Bldg. 10, Room 9C-103, Bethesda, MD, 20892-1804, USA  
 SOURCE: Journal of Pharmacology and Experimental Therapeutics, (Sept., 1999) Vol. 290, No. 3, pp. 1202-1211. print. CODEN: JPETAB. ISSN: 0022-3565.  
 DOCUMENT TYPE: Article  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 18 Oct 1999  
 Last Updated on STN: 18 Oct 1999

AB The mammalian peptide neuromedin B (NMB) and its receptor are expressed in a variety of tissues; however, little is definitively established about its physiological actions because of the lack of potent, specific antagonists. Recently, the peptoid PD 168368 was found to be a potent human NMB receptor antagonist. Because it had been shown previously that either synthetic analogs of bombesin (Bn) or other receptor peptoid or receptor antagonists function as an antagonist or agonist depends on animal species and receptor subtype studied, we investigated the pharmacological properties of PD 168368 compared with all currently known Bn receptor subtypes (NMB receptor, gastrin-releasing peptide receptor, Bn receptor subtype 3, and Bn receptor subtype 4) from human, mouse, rat, and frog. In binding studies, PD 168368 had similar high affinities (K<sub>i</sub> = 15-45 nM) for NMB receptors from each species examined, 30- to 60-fold lower affinity for gastrin-releasing peptide receptors, and >300-fold lower affinity for Bn receptor subtype 3 or 4. It inhibited NMB binding in a competitive manner. PD 168368 alone did not stimulate increases in either intracellular calcium concentration or (3H)inositol phosphates in any of the cells studied but inhibited NMB-induced responses with



equivalent potencies in cells containing NMB receptors. PD 168368 was only minimally soluble in water. When hydroxypropyl-beta-cyclodextrin rather than dimethyl sulfoxide was used as the vehicle, both the affinity and the antagonist potency of PD 168368 were significantly greater. The results demonstrate that PD 168368 is a potent, competitive, and selective antagonist at NMB receptors, with a similar pharmacology across animal species. PD 168368 should prove useful for delineating the biological role of NMB and selectively blocking NMB signaling in bioassays and as a lead for the development of more selective nonpeptide antagonists for the NMB receptor.

L11 ANSWER 5 OF 9 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN

ACCESSION NUMBER: 1999:336345 BIOSIS  
DOCUMENT NUMBER: PREV199900336345  
TITLE: The peptoid PD 168368 is a potent antagonist on human and rodent neuromedin B receptors.  
AUTHOR(S): Ryan, R. [Reprint author]; Mantey, S. A. [Reprint author]; Pradhan, T. K. [Reprint author]; Coy, D. H. [Reprint author]; Battey, J. F. [Reprint author]; Jensen, R. T.  
CORPORATE SOURCE: NIH, Bethesda, MD, USA  
SOURCE: Gastroenterology, (April, 1999) Vol. 116, No. 4 PART 2, pp. A1072. print.  
Meeting Info.: Digestive Disease Week and the 100th Annual Meeting of the American Gastroenterological Association. Orlando, Florida, USA. May 16-19, 1999. American Gastroenterological Association.  
CODEN: GASTAB. ISSN: 0016-5085.  
DOCUMENT TYPE: Conference; (Meeting)  
Conference; Abstract; (Meeting Abstract)  
LANGUAGE: English  
ENTRY DATE: Entered STN: 24 Aug 1999  
Last Updated on STN: 24 Aug 1999

L11 ANSWER 6 OF 9 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN

ACCESSION NUMBER: 1999:291060 BIOSIS  
DOCUMENT NUMBER: PREV199900291060  
TITLE: Ability of a newly described, non-peptide neuromedin B receptor antagonist to interact with mammalian bombesin receptors.  
AUTHOR(S): Mantey, S. A. [Reprint author]; Ryan, R. R. [Reprint author]; Pradhan, T. K. [Reprint author]; Coy, D. H. [Reprint author]; Battey, J. F. [Reprint author]; Jensen, R. T. [Reprint author]  
CORPORATE SOURCE: NIH, Bethesda, MD, USA  
SOURCE: Gastroenterology, (April, 1999) Vol. 116, No. 4 PART 2, pp. A625. print.  
Meeting Info.: Digestive Disease Week and the 100th Annual Meeting of the American Gastroenterological Association. Orlando, Florida, USA. May 16-19, 1999. American Gastroenterological Association.  
CODEN: GASTAB. ISSN: 0016-5085.  
DOCUMENT TYPE: Conference; (Meeting)  
Conference; Abstract; (Meeting Abstract)  
LANGUAGE: English  
ENTRY DATE: Entered STN: 5 Aug 1999  
Last Updated on STN: 5 Aug 1999

L11 ANSWER 7 OF 9 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN  
 ACCESSION NUMBER: 1999:167407 BIOSIS  
 DOCUMENT NUMBER: PREV199900167407  
 TITLE: Comparative pharmacology of PD 168368, a non-peptide neuromedin B antagonist.  
 AUTHOR(S): Ryan, R. R.; Mantey, S. A.; Pradhan, T. K.; Battey, J. F.; Jensen, R. T.  
 CORPORATE SOURCE: National Inst. Health, Bethesda, MD 20892, USA  
 SOURCE: FASEB Journal, (March 12, 1999) Vol. 13, No. 4 PART 1, pp. A466. print.  
 Meeting Info.: Annual Meeting of the Professional Research Scientists for Experimental Biology 99. Washington, D.C., USA. April 17-21, 1999.  
 CODEN: FAJOEC. ISSN: 0892-6638.  
 DOCUMENT TYPE: Conference; (Meeting)  
 Conference; Abstract; (Meeting Abstract)  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 19 Apr 1999  
 Last Updated on STN: 19 Apr 1999

L11 ANSWER 8 OF 9 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN  
 ACCESSION NUMBER: 1998:485569 BIOSIS  
 DOCUMENT NUMBER: PREV199800485569  
 TITLE: PD 176252: The first high affinity non-peptide gastrin-releasing peptide (BB2) receptor antagonist.  
 AUTHOR(S): Ashwood, V.; Brownhill, V.; Higginbottom, M.; Horwell, D. C.; Hughes, J.; Lewthwaite, R. A. [Reprint author]; McKnight, A. T.; Pinnock, R. D.; Pritchard, M. C. [Reprint author]; Suman-Chauhan, N.; Webb, C.; Williams, S. C.  
 CORPORATE SOURCE: Parke-Davis Neurosci. Res. Cent., Cambridge Univ. Forvie Site, Robinson Way, Cambridge CB2 2QB, UK  
 SOURCE: Bioorganic and Medicinal Chemistry Letters, (Sept. 22, 1998) Vol. 8, No. 18, pp. 2589-2594. print.  
 CODEN: BMCLE8. ISSN: 0960-894X.  
 DOCUMENT TYPE: Article  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 5 Nov 1998  
 Last Updated on STN: 5 Nov 1998

AB In this paper we describe the development of a novel series of non-peptide, "balanced" neuromedin-B preferring (BB1)/gastrin-releasing peptide preferring (BB2) receptor ligands as exemplified by PD 176252. PD 176252, which exhibits nanomolar affinity for both the BB1 ( $K_i=0.15\text{nM}$ ) and BB2 ( $K_i=1.0\text{nM}$ ) receptors, has been demonstrated to be a competitive antagonist at these bombesin receptor subtypes.

L11 ANSWER 9 OF 9 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN  
 ACCESSION NUMBER: 1999:67120 BIOSIS  
 DOCUMENT NUMBER: PREV199900067120  
 TITLE: PD168368 is a neuromedin B receptor antagonist for C6 cells.  
 AUTHOR(S): Moody, T. W. [Reprint author]  
 CORPORATE SOURCE: Natl. Cancer Inst., Med. Branch, Cell Cancer Biol. Dep., Rockville, MD 20850, USA  
 SOURCE: Society for Neuroscience Abstracts, (1998) Vol. 24, No.

09/700165

1-2, pp. 1090. print.  
Meeting Info.: 28th Annual Meeting of the Society for  
Neuroscience, Part 1. Los Angeles, California, USA.  
November 7-12, 1998. Society for Neuroscience.  
ISSN: 0190-5295.

DOCUMENT TYPE: Conference; (Meeting)  
Conference; Abstract; (Meeting Abstract)  
Conference; (Meeting Poster)  
LANGUAGE: English  
ENTRY DATE: Entered STN: 16 Feb 1999  
Last Updated on STN: 16 Feb 1999

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MeSH 2002 vocabulary. Enter HELP THESAURUS for details.

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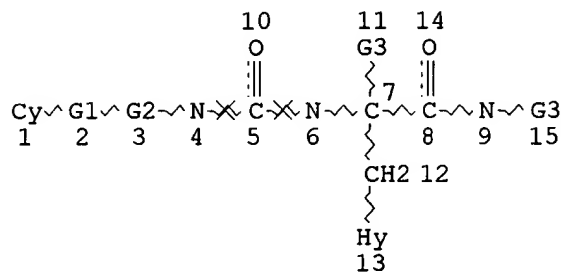
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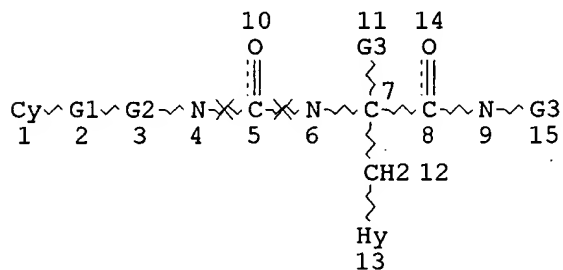
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STEREO ATTRIBUTES: NONE  
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L3 STR



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STEREO ATTRIBUTES: NONE  
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OR ACHING)  
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851968-37-1/BI)  
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FILE 'USPATFULL' ENTERED AT 15:30:24 ON 11 OCT 2005

L9 14 SEA ABB=ON PLU=ON L7  
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FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 15:30:32 ON 11 OCT 2005

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D 1-9 IBIB ABS

FILE 'MARPAT' ENTERED AT 15:30:48 ON 11 OCT 2005

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L\*\*\* DEL STR L12

Searcher : Shears 571-272-2528

09/700165

L\*\*\* DEL 16 SEARCH L\*\*\* SSS SAM  
L\*\*\* DEL STR L\*\*\*  
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L\*\*\* DEL 0 SEARCH L\*\*\* CSS SAM

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L12 FILE 'CANCERLIT' ENTERED AT 15:47:21 ON 11 OCT 2005  
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FILE 'HOME' ENTERED AT 15:47:25 ON 11 OCT 2005

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DICTIONARY FILE UPDATES: 10 OCT 2005 HIGHEST RN 864908-12-3

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FILE LAST UPDATED: 10 Oct 2005 (20051010/ED)

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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FILE USPATFULL  
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 6 Oct 2005 (20051006/PD)  
FILE LAST UPDATED: 6 Oct 2005 (20051006/ED)  
HIGHEST GRANTED PATENT NUMBER: US6952836  
HIGHEST APPLICATION PUBLICATION NUMBER: US2005223461  
CA INDEXING IS CURRENT THROUGH 6 Oct 2005 (20051006/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 6 Oct 2005 (20051006/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2005  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2005

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substance identification.

FILE MEDLINE

FILE LAST UPDATED: 8 OCT 2005 (20051008/UP). FILE COVERS 1950 TO DAT

On December 19, 2004, the 2005 MeSH terms were loaded.

The MEDLINE reload for 2005 is now available. For details enter HELP RLOAD at an arrow prompt (=>). See also:

<http://www.nlm.nih.gov/mesh/>  
[http://www.nlm.nih.gov/pubs/techbull/nd04/nd04\\_mesh.html](http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html)

OLDMEDLINE now back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2005 vocabulary.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BIOSIS

FILE COVERS 1969 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 5 October 2005 (20051005/ED)

FILE RELOADED: 19 October 2003.

FILE EMBASE

FILE COVERS 1974 TO 6 Oct 2005 (20051006/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE MARPAT

FILE CONTENT: 1988-PRESENT (VOL 143 ISS 15) (20051007/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6916824 12 JUL 2005  
DE 10359831 14 JUL 2005  
EP 1550665 06 JUL 2005  
JP 2005183717 07 JUL 2005  
WO 2005079855 01 SEP 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

FILE HOME

FILE CANCERLIT

FILE COVERS 1963 TO 15 Nov 2002 (20021115/ED)



C9/700165

On July 28, 2002, CANCERLIT was reloaded. See HELP RLOAD for details

CANCERLIT thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2002 vocabulary. Enter HELP THESAURUS for details.

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